

09/836,462

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FILE COVERS 1907 - 14 Jun 2002 VOL 136 ISS 24

FILE LAST UPDATED: 12 Jun 2002 (20020612/ED)

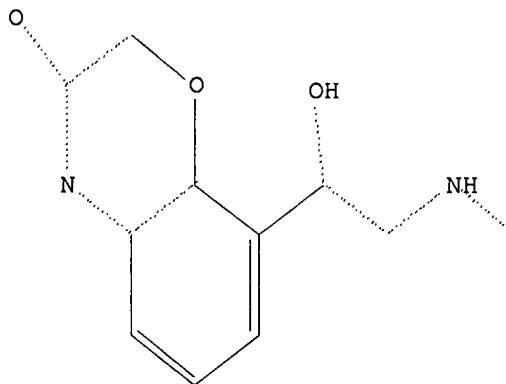
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1

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Structure attributes must be viewed using STN Express query preparation.

L3 107 SEA FILE=REGISTRY SSS FUL L1

L4 12 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:816649 CAPLUS

DOCUMENT NUMBER: 135:344494

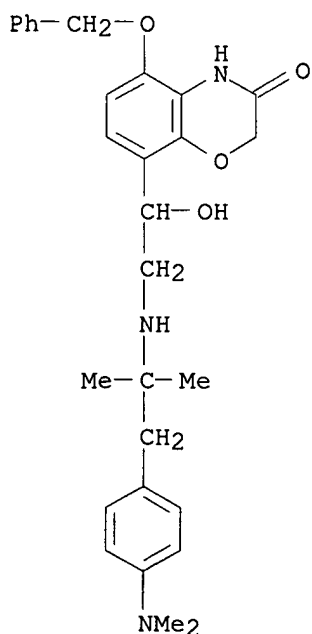
TITLE: Novel, slow-acting betamimetics, a method for their production and their use as medicaments

INVENTOR(S): Schromm, Kurt; Walland, Alexander; Bozung, Karl-Heinz; Schollenberger, Hermann

*Appliances*

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.- G., Germany  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

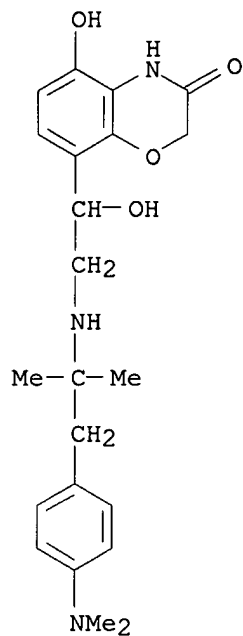
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083462	A1	20011108	WO 2001-EP4278	20010414
W: AE, AU, BG, BR, CA, CN, CO, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, UZ, VN, YU, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002022625	A1	20020221	US 2001-836462	20010418
PRIORITY APPLN. INFO.:		DE 2000-10051318 A 20001017		
OTHER SOURCE(S):		CASREACT 135:344494; MARPAT 135:344494		
AB The Schiff base prepd. from 3-(4-dimethylaminophenyl)-2-methyl-2-propylamine and [2H-5-(benzyloxy)-3-oxo-4H-1,4-benzoxazin-8-yl]glyoxal was hydrogenated and deprotected to give 1-[2H-5-hydroxy-3-oxo-4H-1,4-benzoxazin-8-yl]-2-[3-(4-dimethylaminophenyl)-2-methyl-2-propylamino]ethanol. Among the 4 other compds. similarly prepd. were 1-[3-(4-methoxybenzylamino)-4-hydroxyphenyl]-2-[4-(1-benzimidazolyl)-2-methyl-2-butylamino]ethanol and 1-[2H-5-hydroxy-3-oxo-4H-1,4-benzoxazin-8-yl]-2-[4-[3-(4-methoxyphenyl)-1,2,4-triazol-3-yl]-2-methyl-2-butylamino]ethanol.				
IT <b>124955-15-3P 371754-04-0P</b>				
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of heterocyclic aminoethanols as betamimetics)				
RN 124955-15-3 CAPLUS				
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)				



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RN 371754-04-0 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy- (9CI) (CA INDEX NAME)



IT 371754-06-2P 371754-09-5P 371754-11-9P

371754-13-1P 371754-14-2P 371754-17-5P

371754-19-7P 371754-22-2P

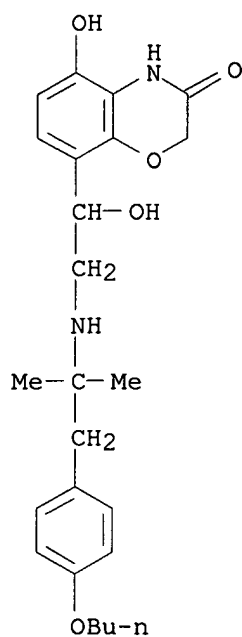
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of heterocyclic aminoethanols as betamimetics)

RN 371754-06-2 CAPLUS

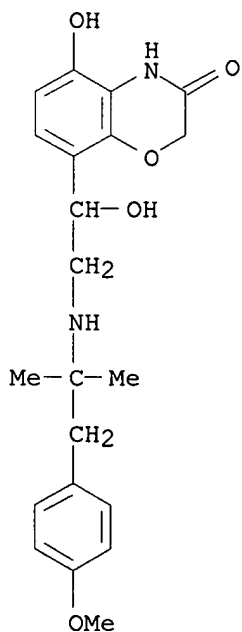
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-butoxyphenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy- (9CI) (CA INDEX NAME)

09/836,462



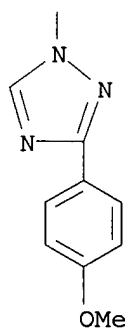
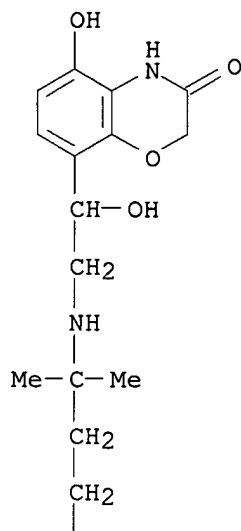
RN 371754-09-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



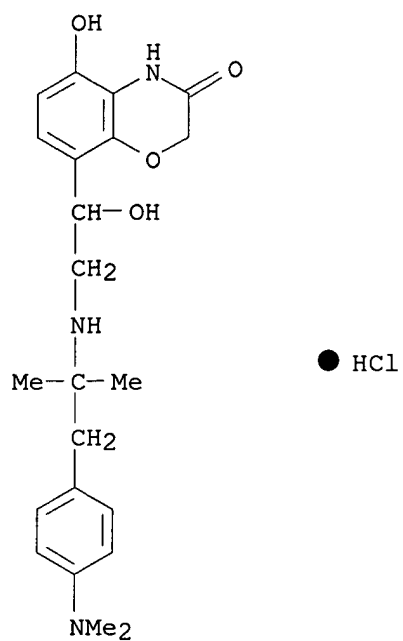
RN 371754-11-9 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[3-[3-(4-methoxyphenyl)-1H-1,2,4-triazol-1-yl]-1,1-dimethylpropyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 371754-13-1 CAPLUS  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI)  
 (CA INDEX NAME)

09/836,462



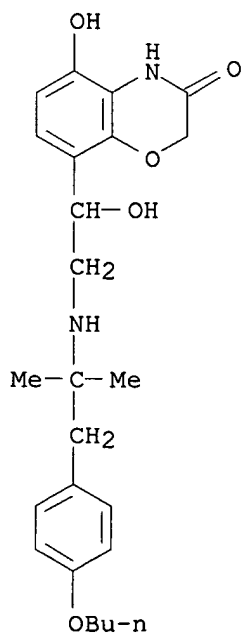
RN 371754-14-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-butoxyphenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 371754-06-2

CMF C24 H32 N2 O5

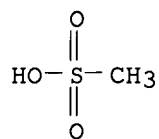


09/836,462

CM 2

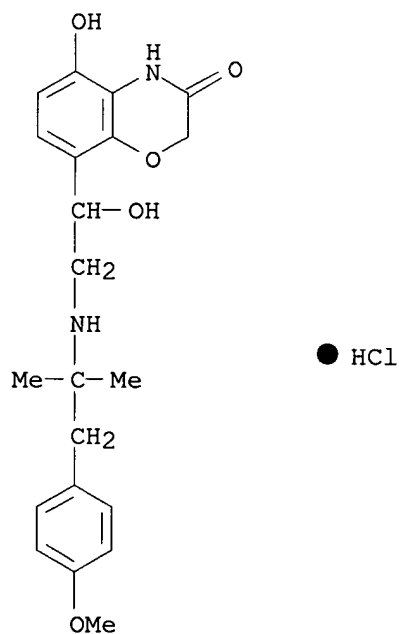
CRN 75-75-2

CMF C H4 O3 S



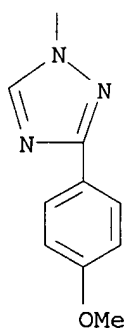
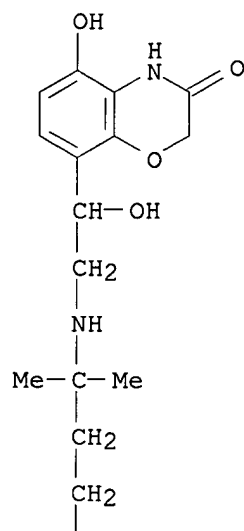
RN 371754-17-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



RN 371754-19-7 CAPLUS

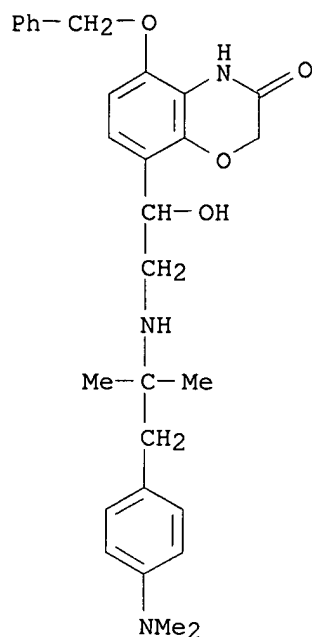
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[3-[3-(4-methoxyphenyl)-1H-1,2,4-triazol-1-yl]-1,1-dimethylpropyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 371754-22-2 CAPLUS  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, dihydrochloride (9CI) (CA INDEX NAME)





● 2 HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS ✓  
 ACCESSION NUMBER: 2000:807717 CAPLUS  
 DOCUMENT NUMBER: 133:359237  
 TITLE: Medicament compositions of anticholinergic compounds and .beta.-sympathomimetics  
 INVENTOR(S): Pairet, Michel; Reichl, Richard; Walland, Alexander; Bozung, Karl-Heinz  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: Ger. Offen., 14 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: .

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19921693	A1	20001116	DE 1999-19921693	19990512
WO 2000069468	A1	20001123	WO 2000-EP3943	20000503
W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1178832	A1	20020213	EP 2000-929478	20000503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010498	A	20020226	BR 2000-10498	20000503
NO 2001005359	A	20011102	NO 2001-5359	20011102
PRIORITY APPLN. INFO.: DE 1999-19921693 A 19990512				
WO 2000-EP3943 W 20000503				
OTHER SOURCE(S): MARPAT 133:359237				
AB The invention provides new medicament compns. of anticholinergic compds.				

and .beta.-sympathomimetics. The compns. of the invention may be used to treat respiratory diseases, e.g. asthma.

IT **92628-33-6**

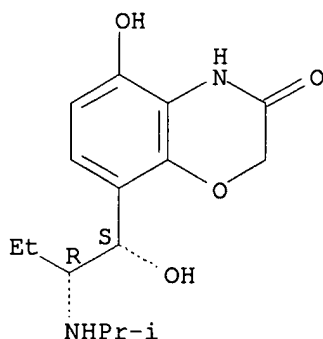
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anticholinergic compd.-.beta.-sympathomimetic medicament compns.)

RN 92628-33-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:223895 CAPLUS

DOCUMENT NUMBER: 126:216649

TITLE: (Endo,syn)-(-)-3-(3-Hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-(methylethyl)-8-azoniabicyclo[3.2.1]octane salts as antiasthmatic pharmaceuticals

INVENTOR(S): Banholzer, Rolf; Reichl, Richard; Disse, Bernd; Speck, Georg

PATENT ASSIGNEE(S): Boehringer Ingelheim Kg, Germany

SOURCE: Ger. Offen., 5 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19528145	A1	19970206	DE 1995-19528145	19950801
TW 449597	B	20010811	TW 1996-85108367	19960710
ZA 9606494	A	19970203	ZA 1996-6494	19960731
CA 2226934	AA	19970213	CA 1996-2226934	19960731
WO 9705136	A1	19970213	WO 1996-EP3364	19960731
W: AU, BG, BR, BY, CA, CN, EE, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9667397	A1	19970226	AU 1996-67397	19960731
EP 843676	A1	19980527	EP 1996-927638	19960731
EP 843676	B1	20011107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1191535	A	19980826	CN 1996-195701	19960731
IL 118986	A1	19981206	IL 1996-118986	19960731

DE	1995-19528145	A	19950801
WO	1996-EP3364	W	19960731
US	1998-983420	B1	19980114

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antiasthmatic pharmaceuticals contg. methylethylazoniabicyclooctane  
salts)

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

PATENT INFORMATION:

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

AU 9172113	A1	19910821	AU 1991-72113	19910131
AU 650001	B2	19940609		
EP 514415	A1	19921125	EP 1991-903275	19910131
EP 514415	B1	19980506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 62456	A2	19930528	HU 1992-2509	19910131
HU 218784	B	20001228		
JP 05504160	T2	19930701	JP 1991-503686	19910131
AT 165863	E	19980515	AT 1991-903275	19910131
ES 2117964	T3	19980901	ES 1991-903275	19910131
ZA 9100754	A	19921028	ZA 1991-754	19910201
SK 280426	B6	20000214	SK 1991-264	19910204
CZ 286691	B6	20000614	CZ 1991-264	19910204
NO 9203039	A	19920731	NO 1992-3039	19920731
FI 9203490	A	19920803	FI 1992-3490	19920803
PRIORITY APPLN. INFO.:			DE 1990-4003272	A 19900203
			WO 1991-EP177	A 19910131
			CS 1991-264	A 19910204

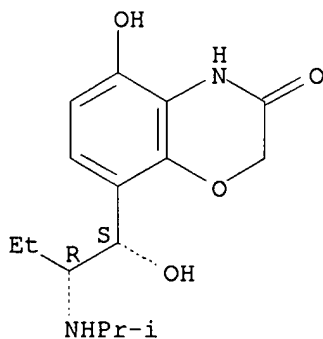
AB Title partially-fluorinated alkanes are F<sub>2</sub>CHMe, F<sub>3</sub>CCH<sub>2</sub>F, F<sub>3</sub>CCHF<sub>2</sub> and F<sub>3</sub>CCHF<sub>2</sub>CF<sub>3</sub>. The mixts. may also contain F<sub>3</sub>CH, F<sub>2</sub>CCl<sub>2</sub>, F<sub>2</sub>CClCClF<sub>2</sub>, propane, butane, pentane and/or DME. A formulation comprised oxitropium bromide 0.10, lecithin 0.01, pentane 4.0, and F<sub>3</sub>CCHF<sub>2</sub>CF<sub>3</sub> 95.89%.

IT **92628-33-6**  
 RL: PROC (Process)  
 (aerosol spray formulation of, fluoroalkane propellant for)

RN 92628-33-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:28142 CAPLUS

DOCUMENT NUMBER: 116:28142

TITLE: Heptafluoropropane propellant for drug aerosols

INVENTOR(S): Weil, Hans Hermann; Daab, Ottfried

PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Germany

SOURCE: Ger. Offen., 4 pp.  
 CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 4003270	A1	19910808	DE 1990-4003270	19900203
CA 2075060	AA	19910804	CA 1991-2075060	19910131
WO 9111496	A1	19910808	WO 1991-EP178	19910131
W: AU, CA, FI, HU, JP, KR, NO, PL, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9172116	A1	19910821	AU 1991-72116	19910131
AU 656129	B2	19950127		
EP 513099	A1	19921119	EP 1991-903267	19910131
EP 513099	B1	19991013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 62455	A2	19930528	HU 1992-2508	19910131
HU 218664	B	20001028		
JP 05504350	T2	19930708	JP 1991-503687	19910131
RU 2118170	C1	19980827	RU 1991-5052884	19910131
AT 185587	E	19991015	AT 1991-903267	19910131
ES 2139574	T3	20000216	ES 1991-903267	19910131
ZA 9100756	A	19921028	ZA 1991-756	19910201
CZ 285209	B6	19990616	CZ 1991-265	19910204
SK 281440	B6	20010312	SK 1991-265	19910204
NO 9203040	A	19920731	NO 1992-3040	19920731
FI 9203491	A	19920803	FI 1992-3491	19920803

## PRIORITY APPLN. INFO.:

DE 1990-4003270	A	19900203
WO 1991-EP178	A	19910131

AB TG 227 (1,1,1,2,3,3,3-heptafluoropropane) is a propellant for aerosol drug sprays. TG 227 is environmentally safer than the conventional chlorofluorohydrocarbons. TG 227 can be used together with known propellants. A formulation comprised fenoterol 0.3, lecithin 0.1, TG 227 69.6, and FCCl3 30.0%.

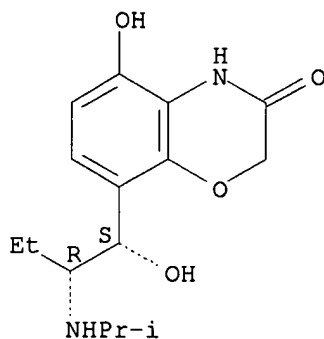
## IT 92628-33-6

RL: BIOL (Biological study)  
(aerosol sprays of, heptafluoropropane propellant in)

RN 92628-33-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1990:471335 CAPLUS

DOCUMENT NUMBER: 113:71335

TITLE: Synergistic compositions for the treatment of  
bronchial asthma, comprising .beta.2-mimetics

INVENTOR(S): Heuer, Hubert

PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3815480	A1	19891116	DE 1988-3815480	19880506
JP 02011525	A2	19900116	JP 1989-113527	19890502
EP 341559	A2	19891115	EP 1989-107970	19890503
EP 341559	A3	19900509		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8903261	A	19910130	ZA 1989-3261	19890503
IL 90181	A1	19930708	IL 1989-90181	19890504
DK 8902203	A	19891107	DK 1989-2203	19890505
AU 8934063	A1	19891109	AU 1989-34063	19890505
AU 614362	B2	19910829		
HU 50291	A2	19900129	HU 1989-2181	19890505
HU 205009	B	19920330		

PRIORITY APPLN. INFO.: DE 1988-3815480 19880506

AB Comps. comprising .beta.2-mimetics and blood platelet-aggregation factor (PAF) antagonists are synergistic drugs for the treatment of bronchial asthma. An inhalation aerosol comprised fenoterol-HBr 0.02, Web-2086 0.10 soya lecithin 0.20 and propellant to 100.00 parts by wt. Propranolol (0.1 mg/kg; i.v.) increased the sensitivity of mice to PAF (30 mg/kg; i.v.). The survival of these mice was enhanced by fenoterol (0.01 mg/kg; i.p.) or Web-2086 (0.05 mg/kg; i.p.) and even more so by joint administration of fenoterol and Web-2086.

IT 92628-33-6D, mixts. with platelet-aggregation factor antagonist

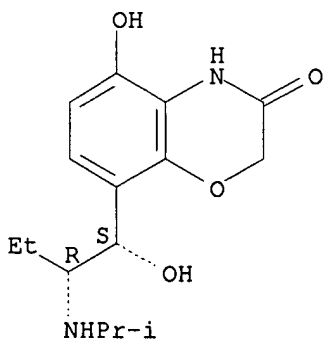
RL: BIOL (Biological study)

(synergistic drug, for treatment of bronchial asthma)

RN 92628-33-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS ✓

ACCESSION NUMBER: 1990:98544 CAPLUS

DOCUMENT NUMBER: 112:98544

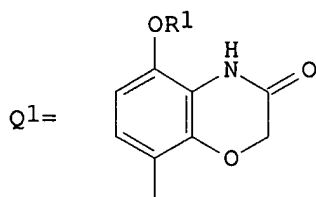
TITLE: Preparation and formulation of 5-hydroxy-8-[1-hydroxy-2-(2-methyl-2-propylamine)ethyl]-2H-1,4-benzoxazin-3-(4H)-ones and analogs containing a quaternary ammonium group as broncholytics

INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Muacevic, Gojko; Traunecker, Werner

09/836,462

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 30 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3743265	A1	19890629	DE 1987-3743265	19871219
EP 321864	A2	19890628	EP 1988-121011	19881215
EP 321864	A3	19901227		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8809387	A	19900829	ZA 1988-9387	19881215
DK 8807007	A	19890620	DK 1988-7007	19881216
FI 8805811	A	19890620	FI 1988-5811	19881216
NO 8805598	A	19890620	NO 1988-5598	19881216
AU 8827022	A1	19890622	AU 1988-27022	19881216
AU 618302	B2	19911219		
DD 280099	A5	19900627	DD 1988-323312	19881216
SU 1628854	A3	19910215	SU 1988-4613149	19881216
JP 02000239	A2	19900105	JP 1988-320315	19881219
HU 53866	A2	19901228	HU 1988-6491	19881219
HU 207283	B	19930329		
PL 160685	B1	19930430	PL 1988-276549	19881219
US 5223614	A	19930629	US 1990-603585	19901025
PRIORITY APPLN. INFO.:			DE 1987-3743265	19871219
			US 1988-286442	19881219
OTHER SOURCE(S):			CASREACT 112:98544; MARPAT 112:98544	
GI				



AB QCH(OH)CHR<sub>4</sub>NHCR<sub>5</sub>R<sub>6</sub>(CH<sub>2</sub>)<sub>n</sub>R (Q = Ph group of a broncholytically effective compd., e.g., Q1(R<sub>1</sub> = H); R = quaternary ammonium group contg.-alkoxy, -heterocyclyl, -arylalkoxy, etc.; R<sub>4</sub> = H, Me, Et; R<sub>5</sub>, R<sub>6</sub> = H, Me; n = 1-5) were prepd. Thus, Q1COCH(OH)OEt (R<sub>1</sub> = Bz) was condensed with 4-(Me<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CMe<sub>2</sub>NH<sub>2</sub> and the product treated with NaBH<sub>4</sub> to give Q1CH(OH)CH<sub>2</sub>NHMe<sub>2</sub>CH<sub>2</sub>1C<sub>6</sub>H<sub>4</sub>NMe<sub>2</sub>-4 (R<sub>1</sub> = Bz) which was condensed with BrCH<sub>2</sub>CO<sub>2</sub>Et to give, after hydrolysi and hydrogenolysis, Q1CH(OH)CH<sub>2</sub>NHMe<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>R<sub>2</sub>-4 (I) (R<sub>1</sub> = H; R<sub>2</sub> = N+Me<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>-) (II). I [R<sub>1</sub> = H; R<sub>2</sub> = OCH<sub>2</sub>CH<sub>2</sub>N+Me<sub>2</sub>(CH<sub>2</sub>)<sub>3</sub>SO<sub>2</sub>-) gave 50% protection against acetylcholine-induced spasm in guinea pigs after inhalation of a 0.004% aq. soln. An aerosol was prepd. contg. II 0.1, sorbitan trioleate 0.5, and CFC13 and CF<sub>2</sub>Cl<sub>2</sub> (2:3) 99.4 wt. %.

IT 124955-10-8P 124955-11-9P 124955-13-1P  
 124955-15-3P 124955-17-5P 124955-18-6P  
 124955-23-3P 124955-24-4P 124955-25-5P

09/836,462

**124955-29-9P 124955-30-2P 124955-31-3P**

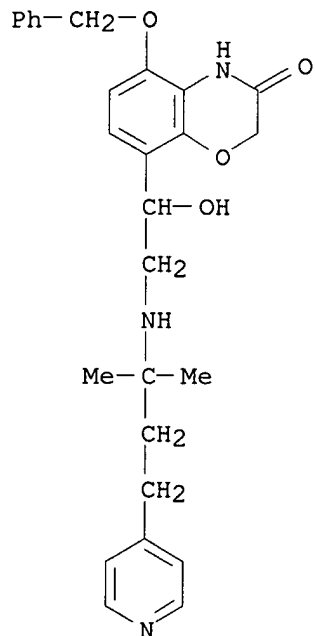
**124955-39-1P 124955-41-5P 124955-42-6P**

**124955-47-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and reaction of, in prepn. of broncholytics)

RN 124955-10-8 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-3-(4-pyridinyl)propyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

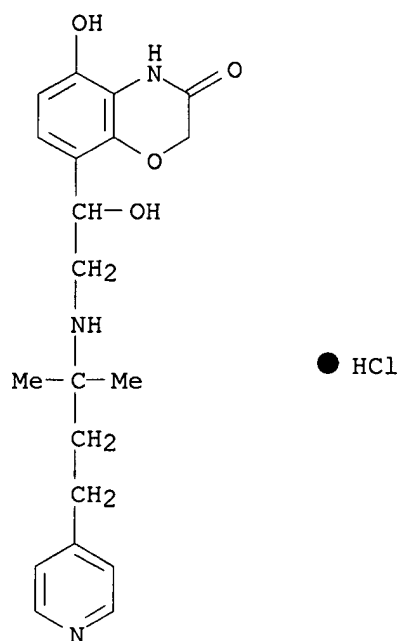


RN 124955-11-9 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-3-(4-pyridinyl)propyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

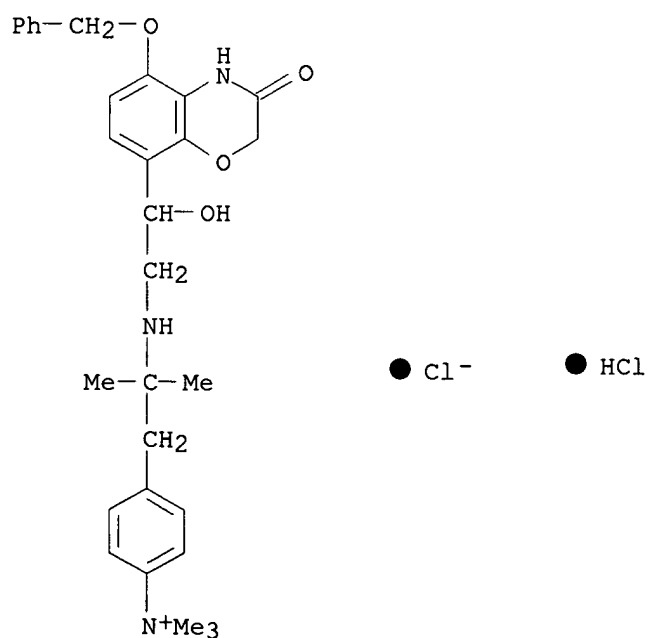


09/836,462



RN 124955-13-1 CAPLUS

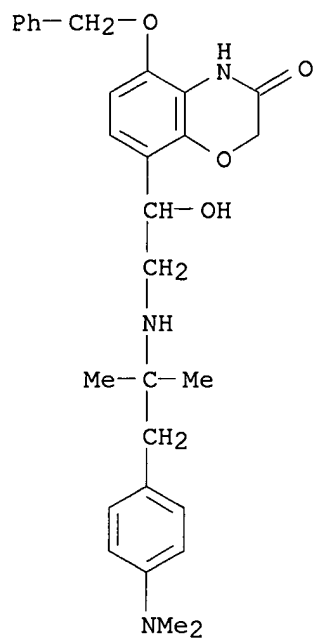
CN Benzenaminium, 4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N,N,N-trimethyl-, chloride, monohydrochloride (9CI) (CA INDEX NAME)



RN 124955-15-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

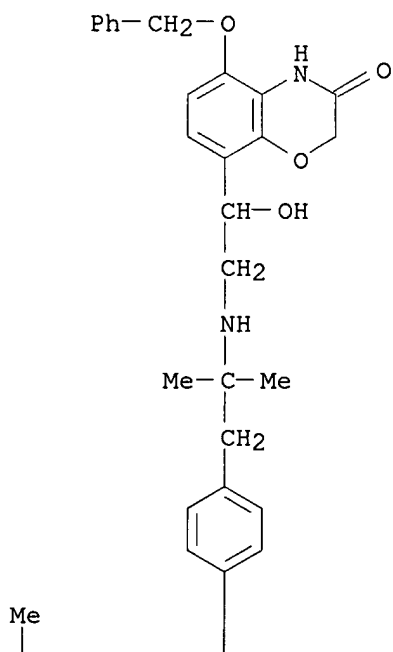
09/836,462

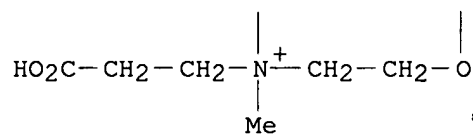


RN 124955-17-5 CAPLUS

CN Ethanaminium, 2-carboxy-N-[2-[4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)

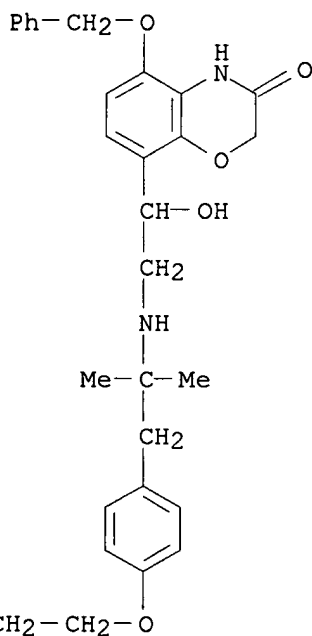
PAGE 1-A





RN 124955-18-6 CAPLUS

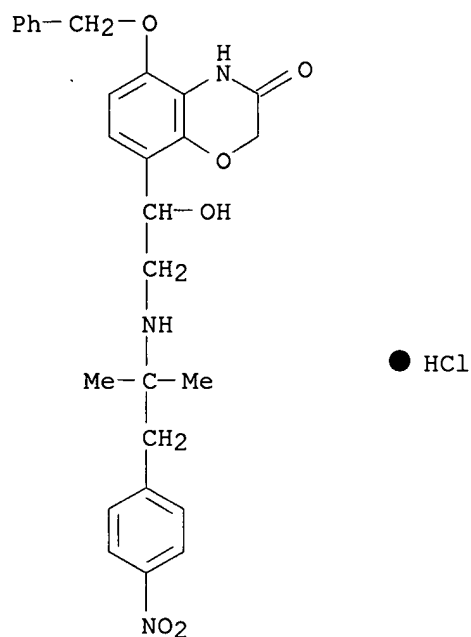
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-[2-(dimethylamino)ethoxy]phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



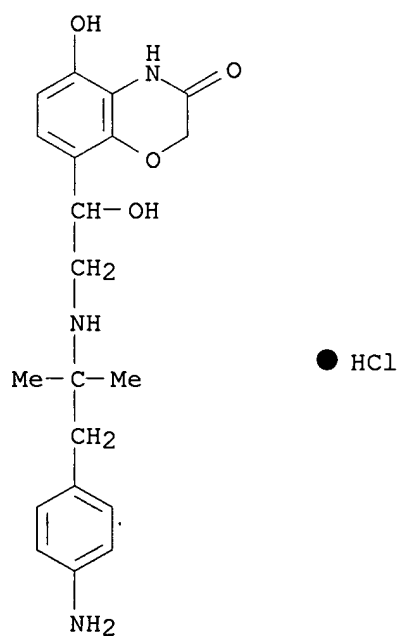
RN 124955-23-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-2-(4-nitrophenyl)ethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

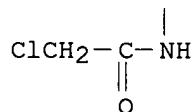
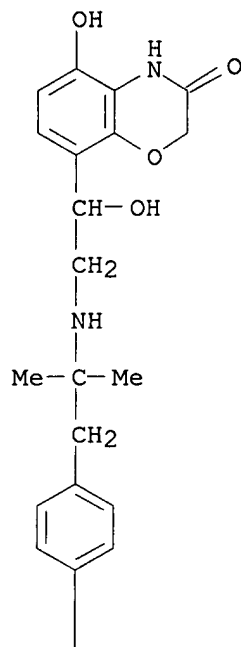
09/836,462



RN 124955-24-4 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-aminophenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



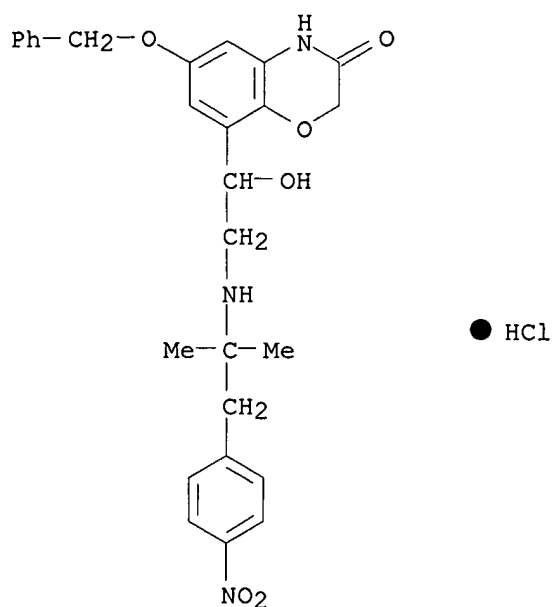
RN 124955-25-5 CAPLUS  
CN Acetamide, 2-chloro-N-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



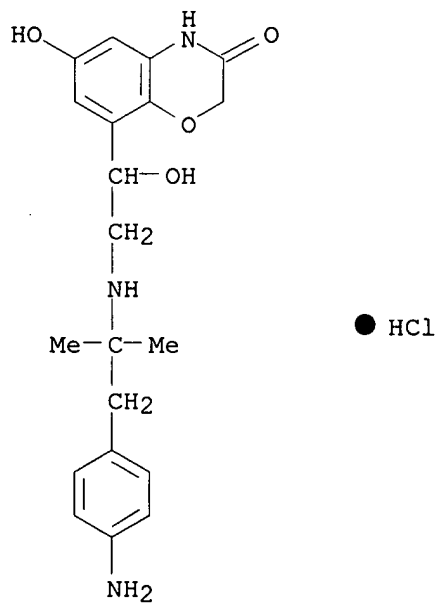
● HCl

RN 124955-29-9 CAPLUS  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-2-(4-nitrophenyl)ethyl]amino]-1-hydroxyethyl]-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

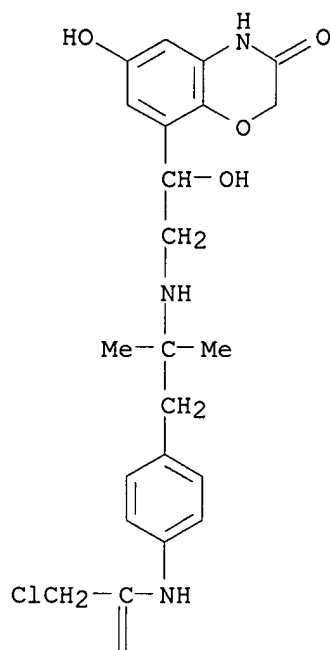
09/836,462



RN 124955-30-2 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-aminophenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI)  
(CA INDEX NAME)

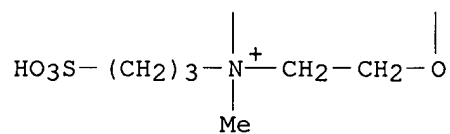
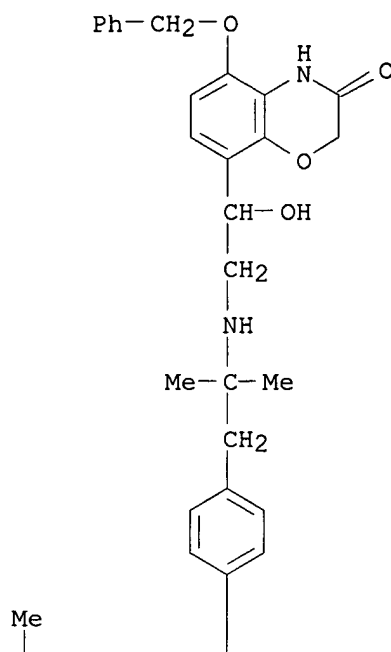


RN 124955-31-3 CAPLUS  
CN Acetamide, 2-chloro-N-[4-[2-[[2-(3,4-dihydro-6-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



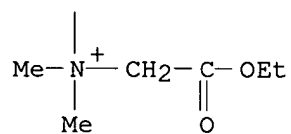
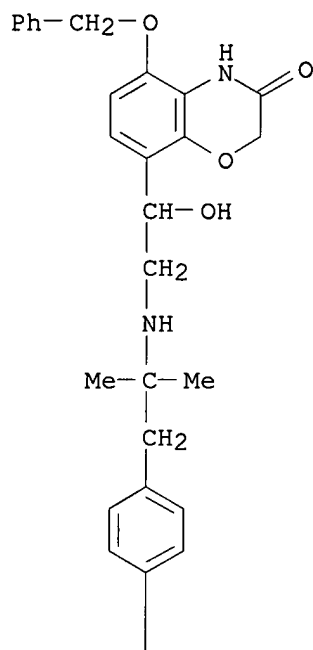
● HCl

RN 124955-39-1 CAPLUS  
 CN 1-Propanaminium, N-[2-[4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-3-sulfo-, chloride (9CI) (CA INDEX NAME)

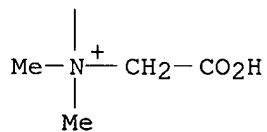
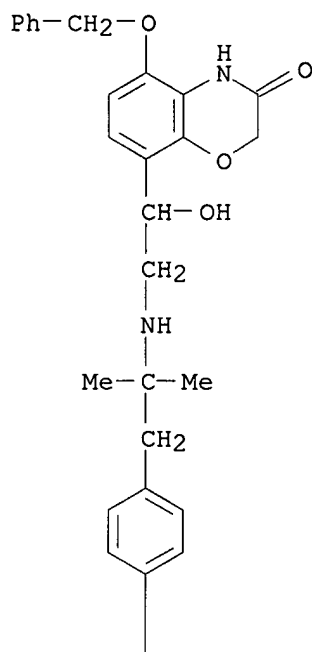


RN 124955-41-5 CAPLUS  
 CN Benzenaminium, 4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N-(2-ethoxy-2-oxoethyl)-N,N-dimethyl-, bromide, monohydrochloride (9CI) (CA INDEX NAME)

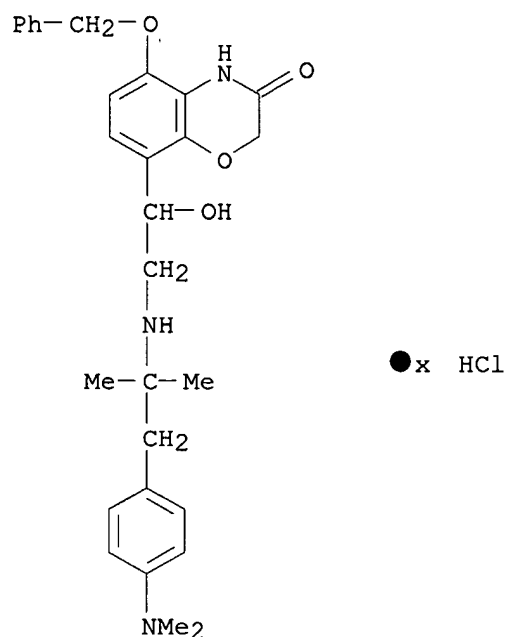




RN 124955-42-6 CAPLUS  
 CN Benzenaminium, N-(carboxymethyl)-4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)



RN 124955-47-1 CAPLUS  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, hydrochloride  
 (9CI) (CA INDEX NAME)

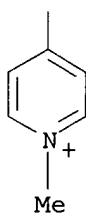
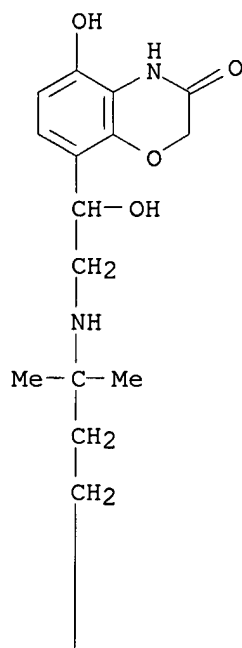


IT 124955-07-3P 124955-12-0P 124955-16-4P  
 124955-20-0P 124955-21-1P 124955-26-6P  
 124955-38-0P 124955-40-4P 124955-43-7P  
 124955-46-0P 124955-48-2P 124998-73-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as broncholytic)

RN 124955-07-3 CAPLUS

CN Pyridinium, 4-[3-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-3-methylbutyl]-1-methyl-, chloride,  
 monohydrochloride (9CI) (CA INDEX NAME)

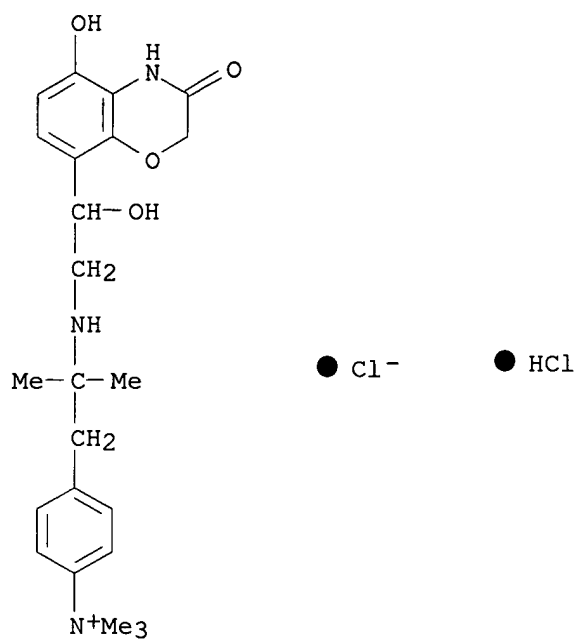


● Cl<sup>-</sup>

● HCl

RN 124955-12-0 CAPLUS  
 CN Benzenaminium, 4-[2-[[2-[3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N,N,N-trimethyl-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

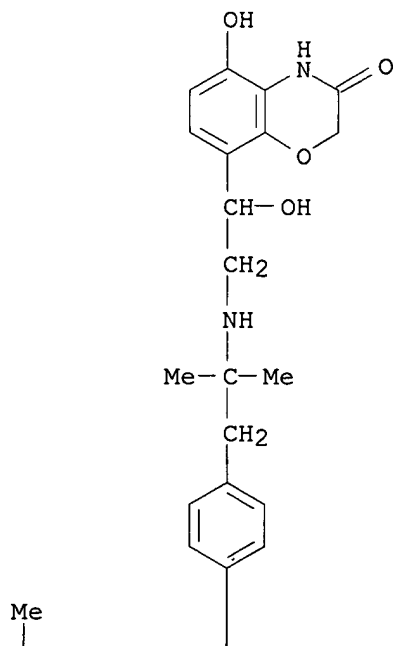
09/836,462

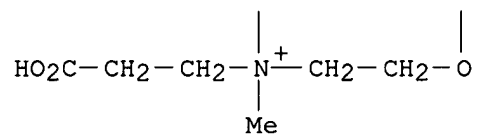


RN 124955-16-4 CAPLUS

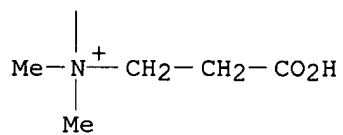
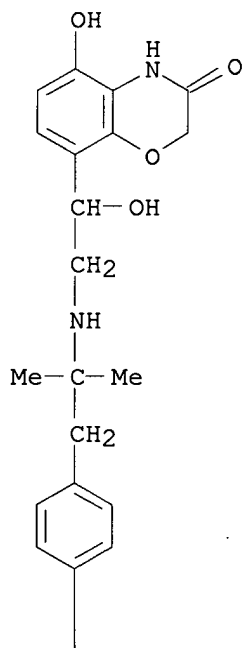
CN Ethanaminium, 2-carboxy-N-[2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)

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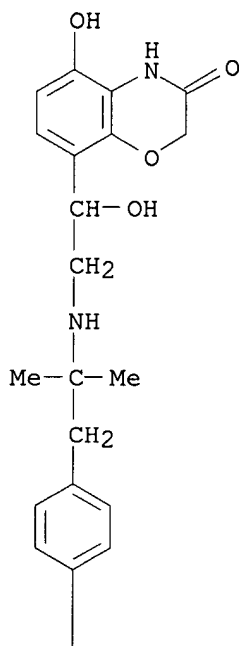
RN 124955-20-0 CAPLUS  
 CN Benzenaminium, N-(2-carboxyethyl)-4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)



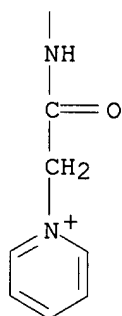
09/836,462

CN Pyridinium, 1-[2-[[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]amino]-2-oxoethyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

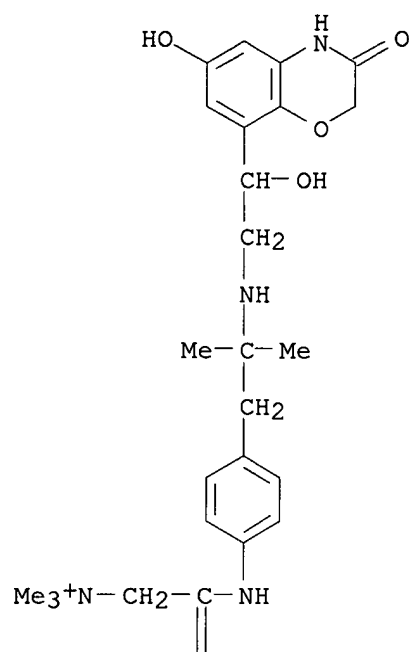


● Cl<sup>-</sup>

HCl

RN 124955-26-6 CAPLUS

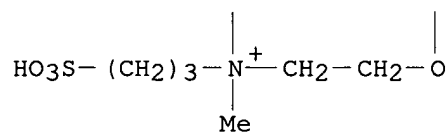
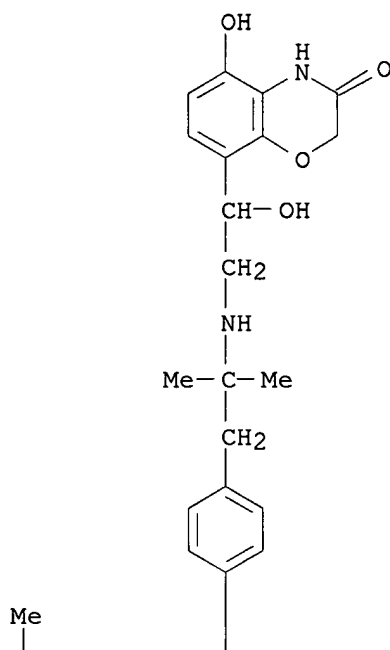
CN Ethanaminium, 2-[[4-[2-[[2-(3,4-dihydro-6-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]amino]-N,N,N-trimethyl-2-oxo-, chloride (9CI) (CA INDEX NAME)



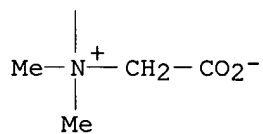
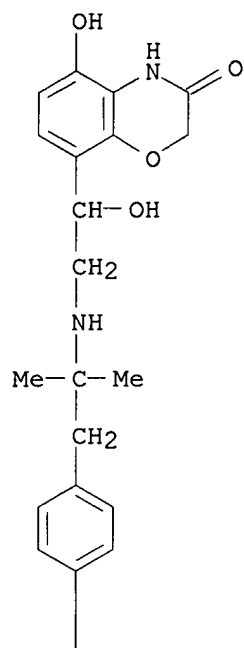
RN 124955-38-0 CAPLUS

CN 1-Propanaminium, N-[2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-3-sulfo-, chloride (9CI) (CA INDEX NAME)



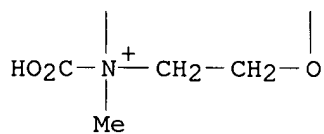
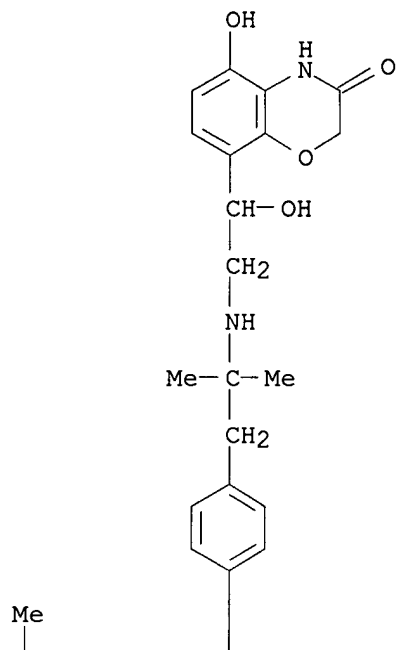


RN 124955-40-4 CAPLUS  
 CN Benzenaminium, N-(carboxymethyl)-4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, inner salt (9CI) (CA INDEX NAME)



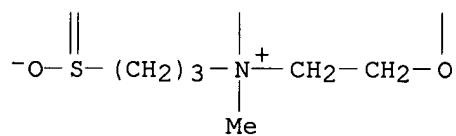
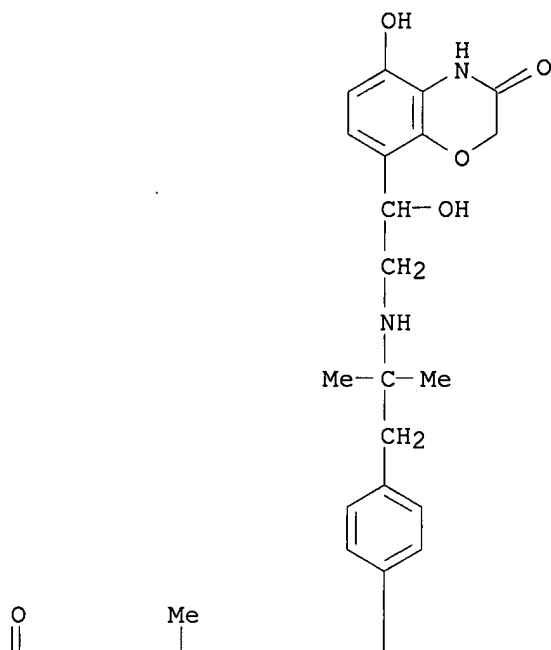
RN 124955-43-7 CAPLUS

CN Ethanaminium, N-carboxy-2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)

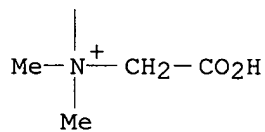
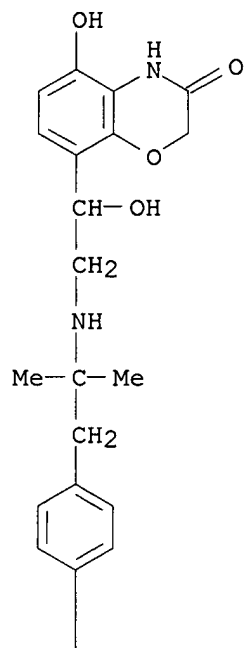


RN 124955-46-0 CAPLUS

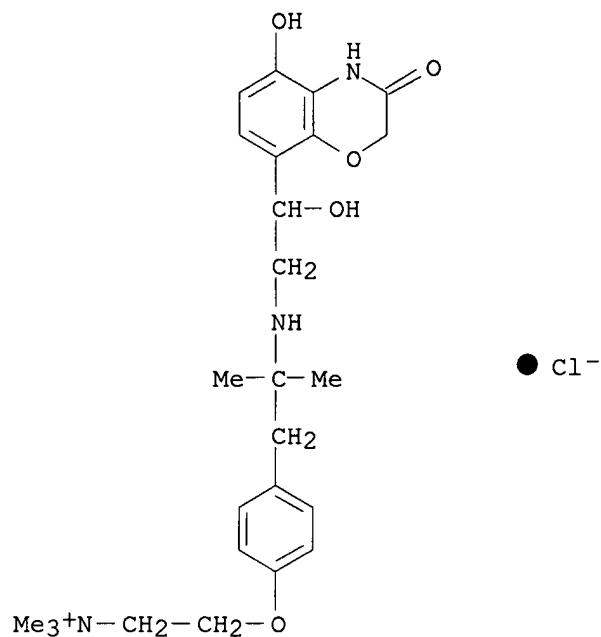
CN 1-Propanaminium, N-[2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-3-sulfinato-, inner salt (9CI) (CA INDEX NAME)



RN 124955-48-2 CAPLUS  
 CN Benzenaminium, N-(carboxymethyl)-4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)



RN 124998-73-8 CAPLUS  
 CN Ethanaminium, 2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]-N,N,N-trimethyl-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

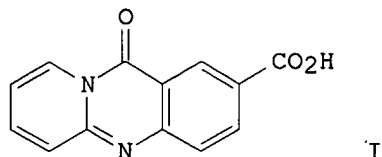


● HCl

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1988:82098 CAPLUS  
 DOCUMENT NUMBER: 108:82098  
 TITLE: Allergy-inhibiting pharmaceutical combination  
 containing beta-2 mimetics and  
 pyridoquinazolinylcarboxylates  
 INVENTOR(S): Lichterfeld, Arnhild; Fuegner, Armin; Schromm, Kurt  
 PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 4 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3545949	A1	19870625	DE 1985-3545949	19851223

GI



AB The antiallergic effects of .beta.2-mimetics are increased by combining them with 11-oxo-11H-pyrido[2,1-b]quinazolin-2-carboxylic acid (I) or one of its salts. A mixt. of the Na salt of I 20, terbutaline sulfate 15, and corn starch 25 wt. % was placed in hard gelatin capsules (30 mg/capsule). In rats, I Na salt, which was ineffective by itself, increased the antiallergic activity of Fenoterol by 2.2 times.

IT **112963-03-8**

RL: BIOL (Biological study)  
(antiallergy-antiasthma compns. contg.)

RN 112963-03-8 CAPLUS

CN 11H-Pyrido[2,1-b]quinazoline-2-carboxylic acid, 11-oxo-, mixt. with (R\*,S\*)-5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-2H-1,4-benzoxazin-3(4H)-one (9CI) (CA INDEX NAME)

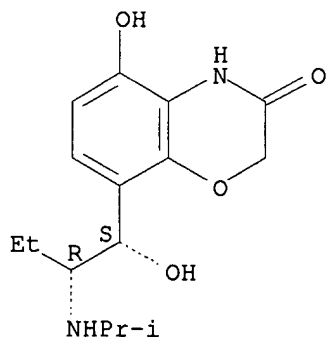
CM 1

CRN 92628-33-6

CMF C15 H22 N2 O4

CDES 2:R\*,S\*

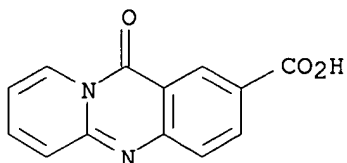
Relative stereochemistry.



CM 2

CRN 64019-03-0

CMF C13 H8 N2 O3



L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1984:591939 CAPLUS

DOCUMENT NUMBER: 101:191939

TITLE: (1-Hydroxy-2-aminoalkyl)-substituted benzoxazinones and benzoxazolinones

INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin

PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.

SOURCE: U.S., 13 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

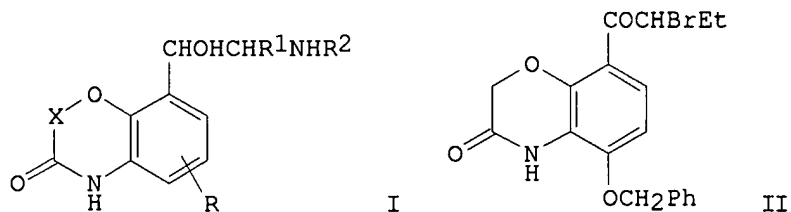
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4460581	A	19840717	US 1982-433681	19821012

OTHER SOURCE(S): CASREACT 101:191939  
GI



AB Title compds. I (R = Cl, OH, acyloxy; R1 = H, Me, Et; R2 = alkyl, arylalkyl, aryloxyalkyl, arylcarboxamidoalkyl, cycloalkyl; X = bond, CH2CH2, CR3R4; R3 = H, alkyl; R4 = H, alkyl, Ph), useful for treatment of asthma, bronchitis, urticaria, hay fever, colds, uterine spasms, cardiovascular disorders, etc. (no data), were prepd. Thus, benzoxazinone II was aminated with Me2CHNH2, debenzylated, and reduced to give erythro-I (R = 5-OH, R1 = Et, R2 = CHMe2, X = CH2) which had a broncholytic ED50 of 0.045 g/kg i.v. in guinea pigs.

IT **85967-17-5P 92628-33-6P**RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and broncholytic activity of)

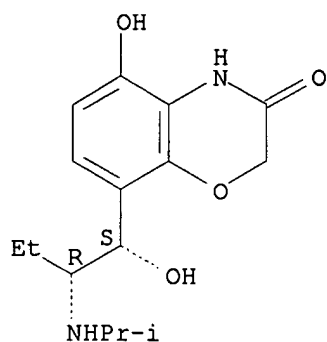
RN 85967-17-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



09/836,462

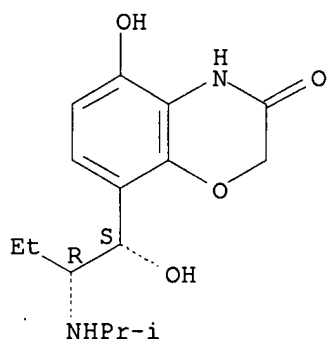


● HCl

RN 92628-33-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

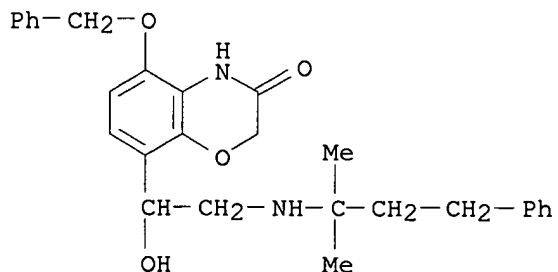


IT 85937-83-3P 85938-27-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and debenzylation of)

RN 85937-83-3 CAPLUS

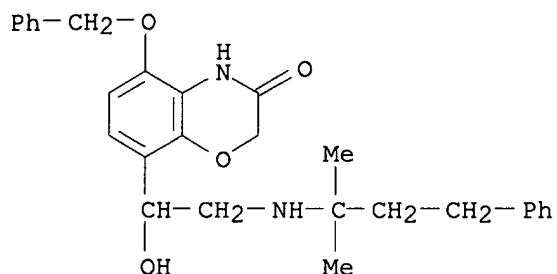
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 85938-27-8 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-

hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



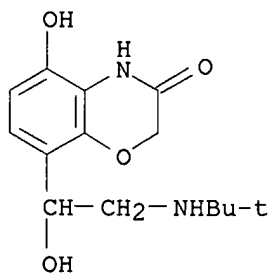
● HCl

IT 85937-73-1P 85937-74-2P 85937-75-3P  
 85937-76-4P 85937-78-6P 85937-79-7P  
 85937-81-1P 85937-84-4P 85937-86-6P  
 85937-87-7P 85937-88-8P 85937-90-2P  
 85937-91-3P 85938-04-1P 85938-05-2P  
 85967-15-3P 92613-48-4P 92613-50-8P  
 92613-51-9P 92613-52-0P 92613-54-2P  
 92613-57-5P 92613-59-7P 92613-61-1P  
 92613-62-2P 92613-63-3P 92613-65-5P  
 92613-67-7P 92613-68-8P 92613-70-2P  
 92613-72-4P 92613-73-5P 92613-74-6P  
 92613-75-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 85937-73-1 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

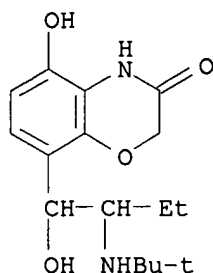


● HCl

RN 85937-74-2 CAPLUS

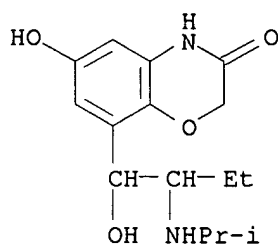
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



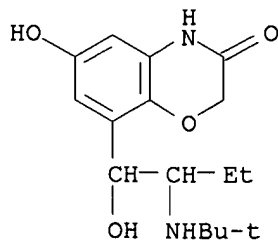
● HCl

RN 85937-75-3 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

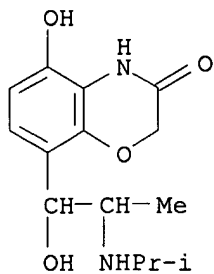
RN 85937-76-4 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxybutyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

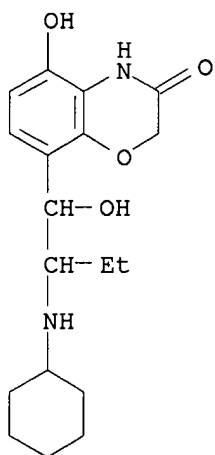
RN 85937-78-6 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



● HCl

RN 85937-79-7 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclohexylamino)-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

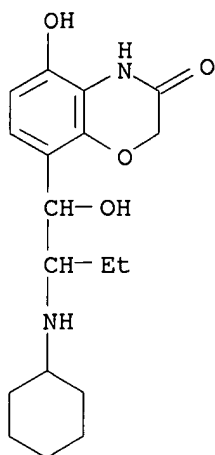
RN 85937-81-1 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclohexylamino)-1-hydroxybutyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 85937-80-0

CMF C18 H26 N2 O4

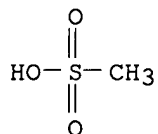
09/836,462



CM 2

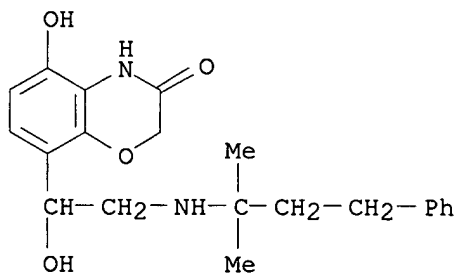
CRN 75-75-2

CMF C H4 O3 S



RN 85937-84-4 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

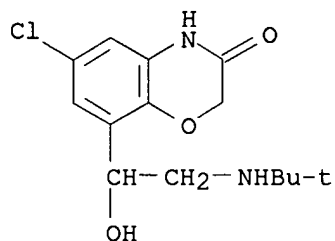


● HCl

RN 85937-86-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

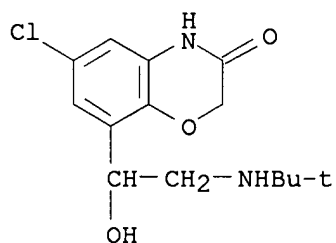
09/836,462



● HCl

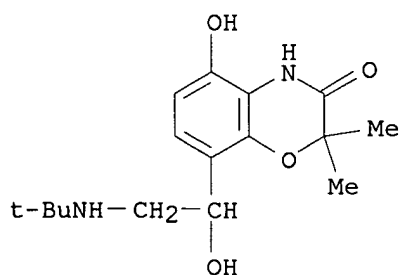
RN 85937-87-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]- (9CI) (CA INDEX NAME)



RN 85937-88-8 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-hydroxy-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

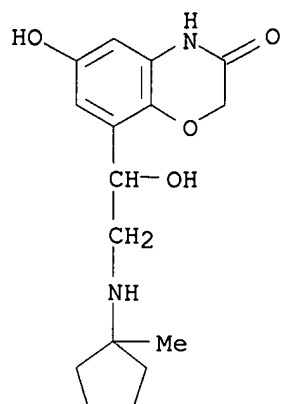


● HCl

RN 85937-90-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[(1-methylcyclopentyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

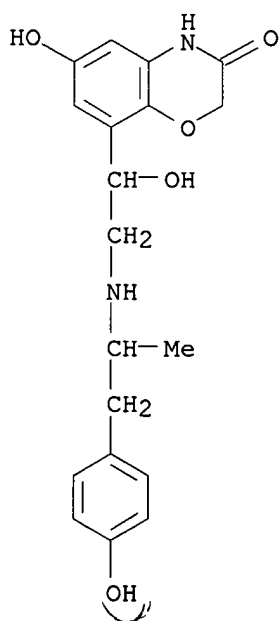
09/836,462



● HCl

RN 85937-91-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

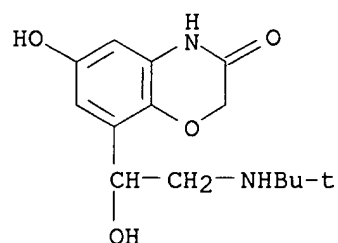


● HCl

RN 85938-04-1 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

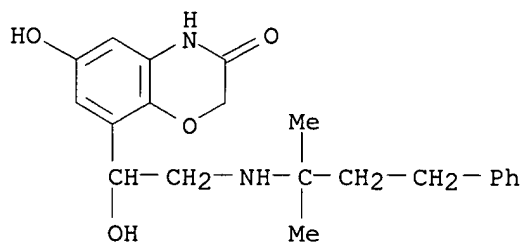
09/836,462



● HCl

RN 85938-05-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



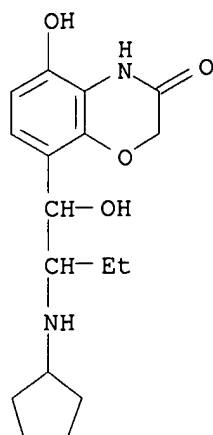
● HCl

RN 85967-15-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclopentylamino)-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



09/836,462



● HCl

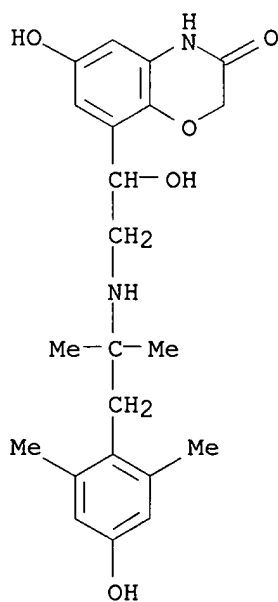
RN 92613-48-4 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxy-2,6-dimethylphenyl)-1,1-dimethylethyl]amino]ethyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-47-3

CMF C22 H28 N2 O5

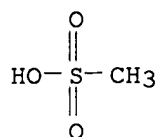


CM 2

CRN 75-75-2

09/836,462

CMF C H4 O3 S



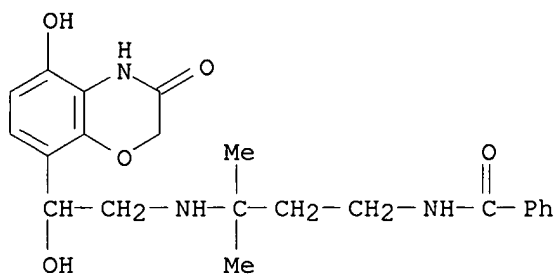
RN 92613-50-8 CAPLUS

CN Benzamide, N-[3-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-3-methylbutyl]-, monomethanesulfonate (salt) (9CI)  
(CA INDEX NAME)

CM 1

CRN 92613-49-5

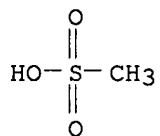
CMF C22 H27 N3 O5



CM 2

CRN 75-75-2

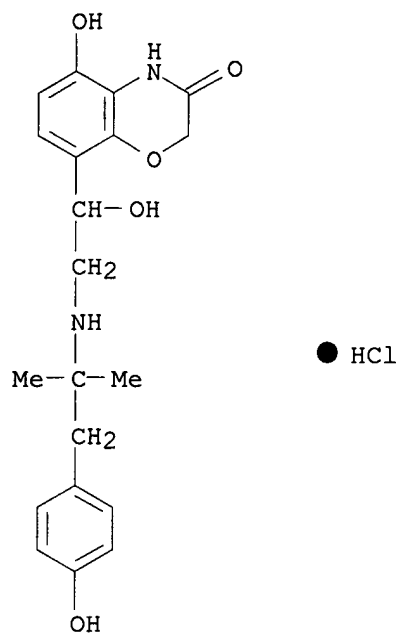
CMF C H4 O3 S



RN 92613-51-9 CAPLUS

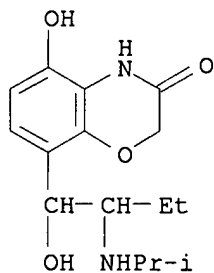
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1,1-dimethylethyl]amino]ethyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)

09/836,462



RN 92613-52-0 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 92613-54-2 CAPLUS

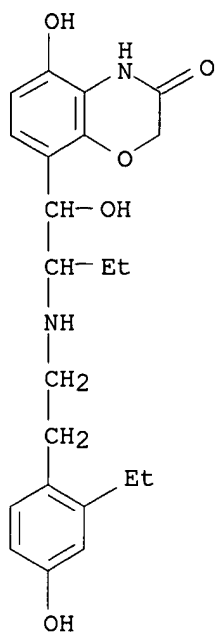
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(2-ethyl-4-hydroxyphenyl)ethyl]amino]-1-hydroxybutyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-53-1

CMF C22 H28 N2 O5

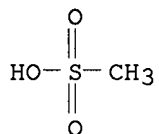
09/836,462



CM 2

CRN 75-75-2

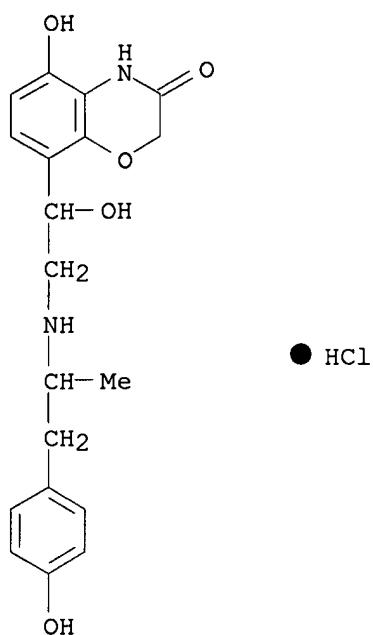
CMF C H4 O3 S



RN 92613-57-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



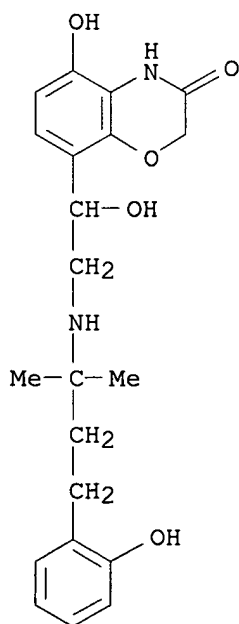
RN 92613-59-7 CAPLUS

CN Formic acid, compd. with 5-hydroxy-8-[1-hydroxy-2-[[3-(2-hydroxyphenyl)-1,1-dimethylpropyl]amino]ethyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI)  
(CA INDEX NAME)

CM 1

CRN 92613-58-6

CMF C21 H26 N2 O5

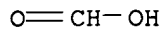


09/836,462

CM 2

CRN 64-18-6

CMF C H2 O2



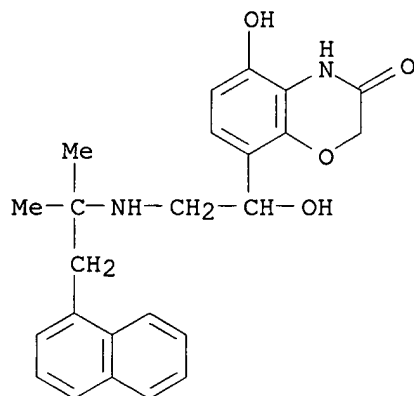
RN 92613-61-1 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-2-(1-naphthalenyl)ethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-60-0

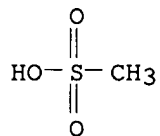
CMF C24 H26 N2 O4



CM 2

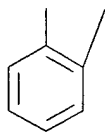
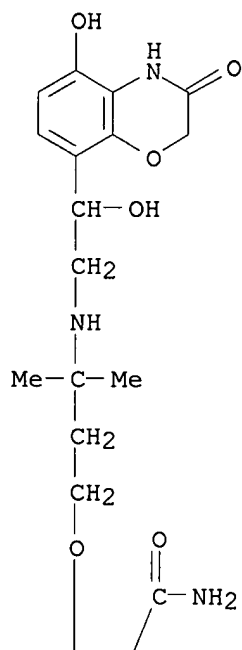
CRN 75-75-2

CMF C H4 O3 S



RN 92613-62-2 CAPLUS

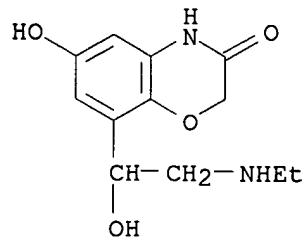
CN Benzamide, 2-[3-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-3-methylbutoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 92613-63-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(ethylamino)-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



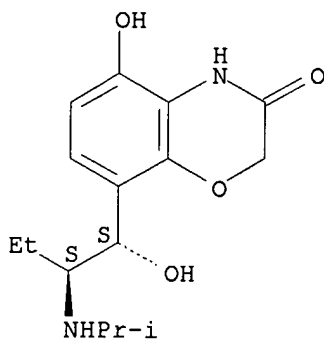
HCl

09/836,462

RN 92613-65-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride, (R\*,R\*)- (9CI) (CA INDEX NAME)

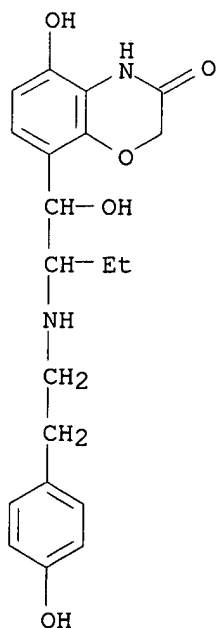
Relative stereochemistry.



● HCl

RN 92613-67-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)ethyl]amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



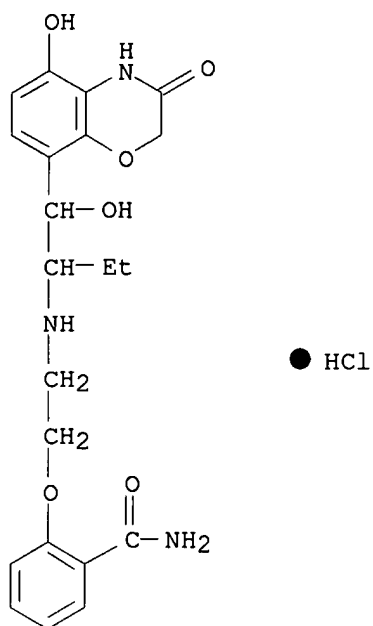
● HCl

RN 92613-68-8 CAPLUS

CN Benzamide, 2-[2-[[1-[(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)hydroxymethyl]propyl]amino]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



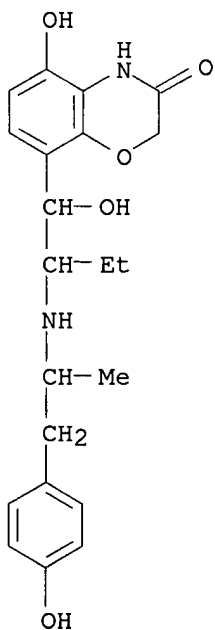
09/836,462



RN 92613-70-2 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]butyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-69-9  
CMF C21 H26 N2 O5

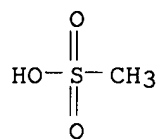


09/836,462

CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 92613-72-4 CAPLUS

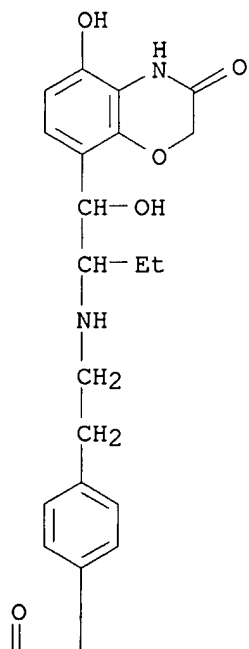
CN Methanesulfonamide, N-[4-[2-[[1-[(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)hydroxymethyl]propyl]amino]ethyl]phenyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

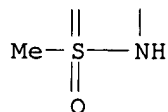
CRN 92613-71-3

CMF C21 H27 N3 O6 S

PAGE 1-A



PAGE 2-A

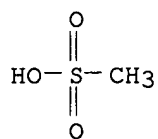


09/836,462

CM 2

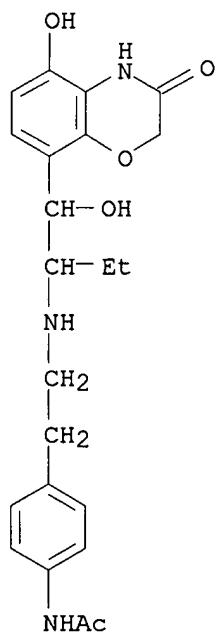
CRN 75-75-2

CMF C H4 O3 S



RN 92613-73-5 CAPLUS

CN Acetamide, N-[4-[2-[[1-[(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)hydroxymethyl]propyl]amino]ethyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

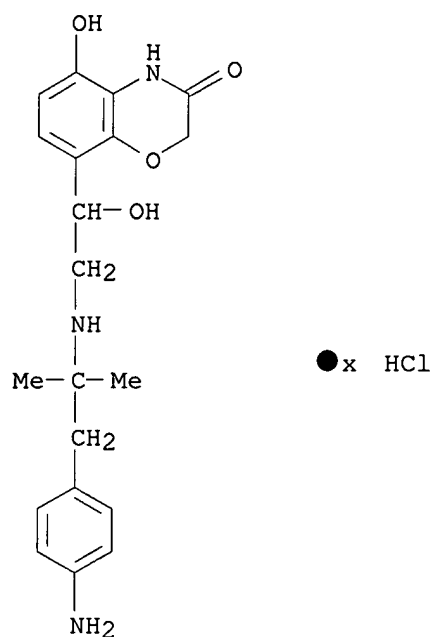


● HCl

RN 92613-74-6 CAPLUS

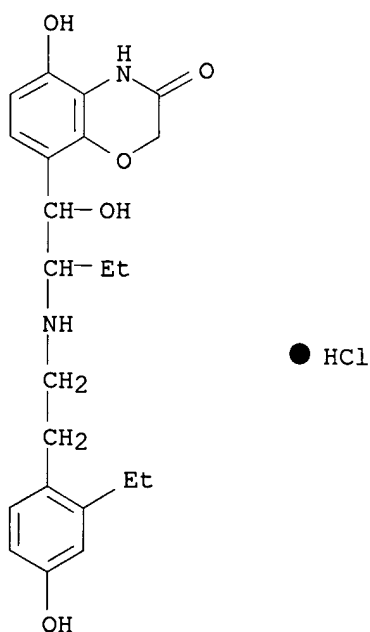
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-aminophenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

09/836,462



RN 92613-75-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(2-ethyl-4-hydroxyphenyl)ethyl]amino]-1-hydroxybutyl]-5-hydroxy-, monohydrochloride  
(9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:405636 CAPLUS

DOCUMENT NUMBER: 99:5636

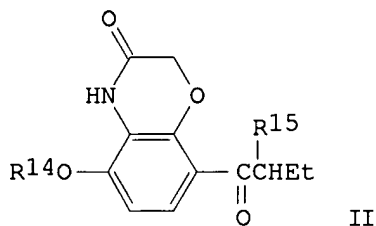
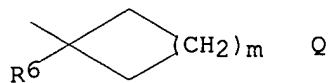
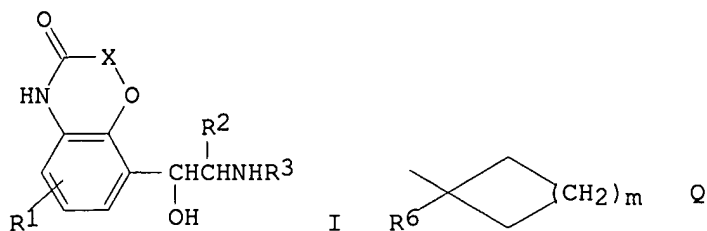
TITLE: Benzoheterocyclics

INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto;

09/836,462

PATENT ASSIGNEE(S): Fuegner, Armin  
SOURCE: Boehringer Ingelheim K.-G., Fed. Rep. Ger.  
Ger. Offen., 49 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3134590	A1	19830310	DE 1981-3134590	19810901
SU 1149876	A3	19850407	SU 1982-3483451	19820827
EP 73505	A1	19830309	EP 1982-107919	19820828
EP 73505	B1	19851127		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 16703	E	19851215	AT 1982-107919	19820828
FI 8202985	A	19830302	FI 1982-2985	19820830
FI 78475	B	19890428		
FI 78475	C	19890810		
DD 204477	A5	19831130	DD 1982-242881	19820830
PL 139375	B1	19870131	PL 1982-238077	19820830
NO 8202932	A	19830302	NO 1982-2932	19820831
NO 157738	B	19880201		
NO 157738	C	19880511		
DK 8203890	A	19830302	DK 1982-3890	19820831
DK 158664	B	19900702		
DK 158664	C	19910114		
AU 8287874	A1	19830310	AU 1982-87874	19820831
AU 553589	B2	19860724		
JP 58052278	A2	19830328	JP 1982-151626	19820831
JP 03005392	B4	19910125		
GB 2106105	A1	19830407	GB 1982-24810	19820831
GB 2106105	B2	19850710		
ES 515380	A1	19830816	ES 1982-515380	19820831
HU 27880	O	19831128	HU 1982-2793	19820831
HU 186112	B	19850628		
ZA 8206349	A	19840425	ZA 1982-6349	19820831
CA 1180012	A1	19841225	CA 1982-410462	19820831
CS 236679	B2	19850515	CS 1982-6329	19820831
IL 66683	A1	19860331	IL 1982-66683	19820831
ES 521870	A1	19840116	ES 1983-521870	19830427
ES 521871	A1	19840616	ES 1983-521871	19830427
PRIORITY APPLN. INFO.:			DE 1981-3134590	19810901
			EP 1982-107919	19820828
OTHER SOURCE(S):	CASREACT	99:5636		
GI				



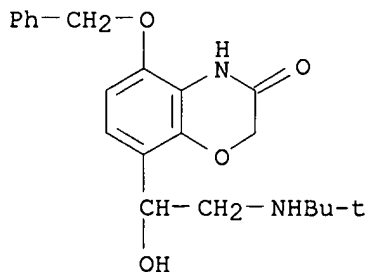
AB Benzoxazines I [R1 = OH, acyloxy, Cl, H; R2 = H, Me, Et; R3 = Q (m = 2-4, R6 = H, Me), CR7R8(CH2)nR9 [R7, R8 = H, Me; R9 = H, naphthyl, pyridyl, R10R11R12C6H2 [R10, R11, R12 independently = H, OH, Me, MeO, halo, OCH2O, NHR13 (R13 = H, acyl, alkylsulfonyl), CONH2]]; X = bond, CR4R5 (R4 = H, alkyl; R5 = H, alkyl, Ph)] and their acid addn. salts, useful as bronchodilators, uterus muscle relaxants, and vasodilators, were prepd. by 3 methods. Amination of benzoxazine II (R14 = PhCH2, R15 = Br) with HNCHMe2 in MeCN gave II (R14 = PhCH2, R15 = NHCHMe2) as the HCl salt which was debenzylated with H2 over Pd/C in MeOH to give II (R14 = H, R15 = NHCHMe2). This was hydrogenated over Pt in MeOH to give 90% I (R1 = 5-OH, R2 = Et, R3 = CHMe2, X = CH2).HCl which had broncholytic ED50 0.045 .mu.g/kg (guinea pig) i.v.

IT **85937-94-6 85937-95-7 85937-97-9**  
**85937-98-0**

RL: RCT (Reactant)  
 (hydrogenolysis of)

RN 85937-94-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



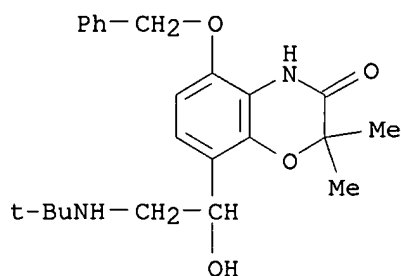
HCl

RN 85937-95-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-2,2-dimethyl-5-(phenylmethoxy)-, monohydrochloride (9CI)

09/836,462

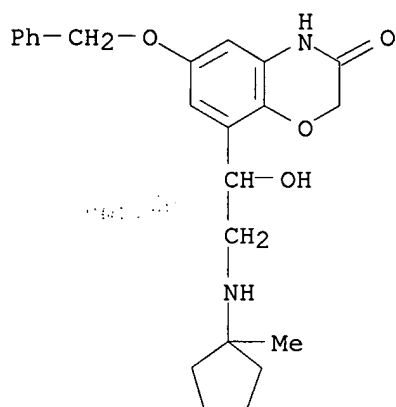
(CA INDEX NAME)



● HCl

RN 85937-97-9 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[1-hydroxy-2-[(1-methylcyclopentyl)amino]ethyl]-6-(phenylmethoxy)-, monohydrochloride (9CI)  
(CA INDEX NAME)

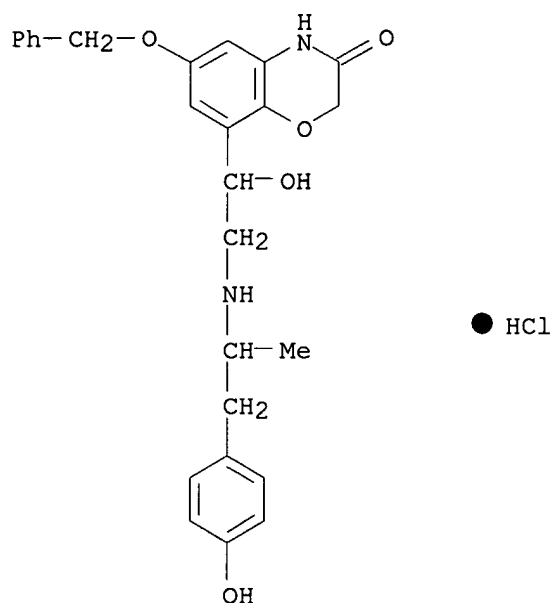


● HCl

RN 85937-98-0 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462

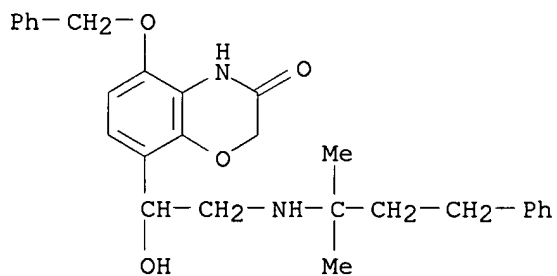


IT **85938-27-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and debenzylation of)

RN 85938-27-8 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **85937-73-1P 85937-74-2P 85937-75-3P**

**85937-76-4P 85937-78-6P 85937-79-7P**

**85937-81-1P 85937-83-3P 85937-84-4P**

**85937-86-6P 85937-87-7P 85937-88-8P**

**85937-90-2P 85937-91-3P 85938-01-8P**

**85938-04-1P 85938-05-2P 85967-15-3P**

**85967-16-4P 85967-17-5P**

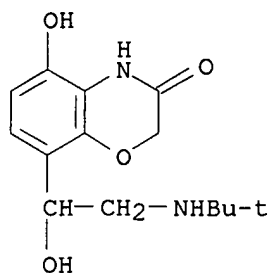
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 85937-73-1 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

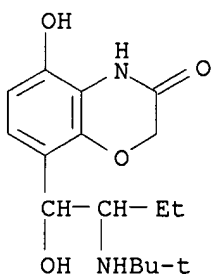


09/836,462



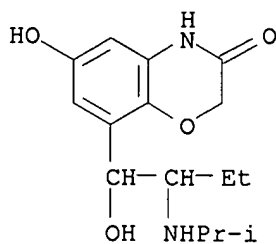
● HCl

RN 85937-74-2 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

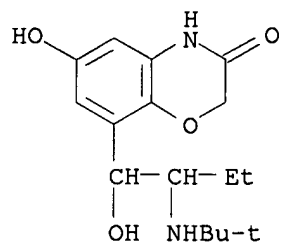
RN 85937-75-3 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[(1,1-dimethylethyl)amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 85937-76-4 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxybutyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

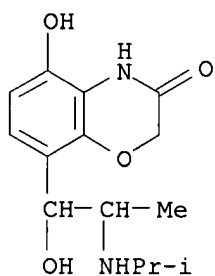
09/836,462



● HCl

RN 85937-78-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

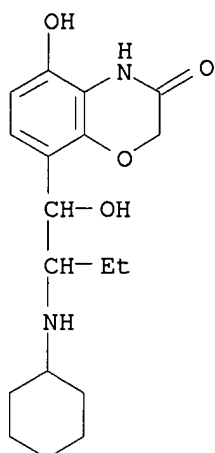


● HCl

RN 85937-79-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclohexylamino)-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



● HCl

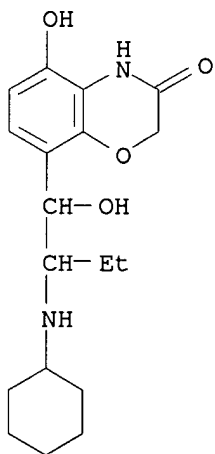
RN 85937-81-1 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclohexylamino)-1-hydroxybutyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 85937-80-0

CMF C18 H26 N2 O4

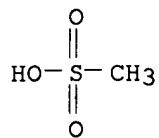


CM 2

CRN 75-75-2

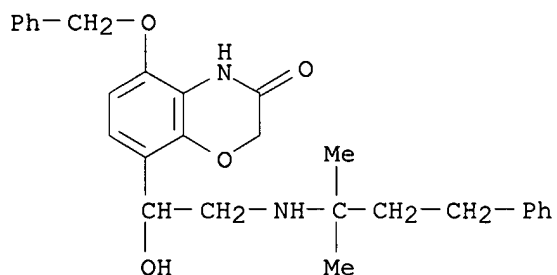
CMF C H4 O3 S

09/836,462



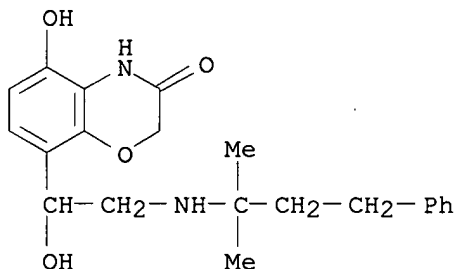
RN 85937-83-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 85937-84-4 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

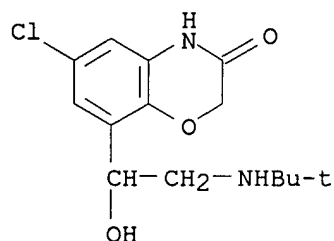


● HCl

RN 85937-86-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

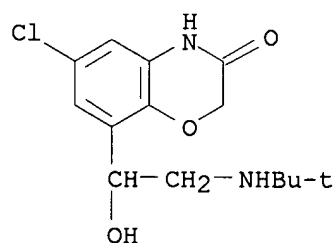
09/836,462



● HCl

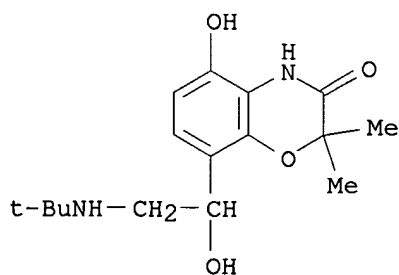
RN 85937-87-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]- (9CI) (CA INDEX NAME)



RN 85937-88-8 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-hydroxy-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

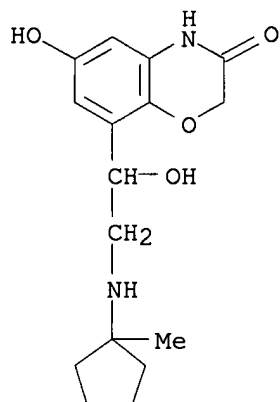


● HCl

RN 85937-90-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[(1-methylcyclopentyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

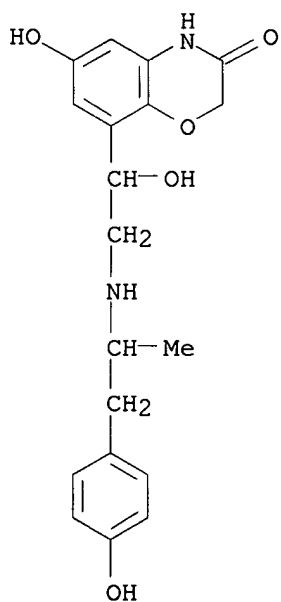
09/836,462



● HCl

RN 85937-91-3 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

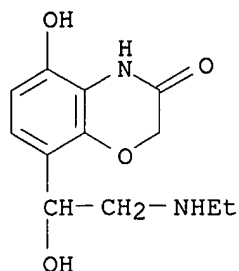


● HCl

RN 85938-01-8 CAPLUS

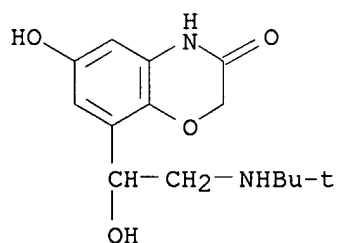
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(ethylamino)-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



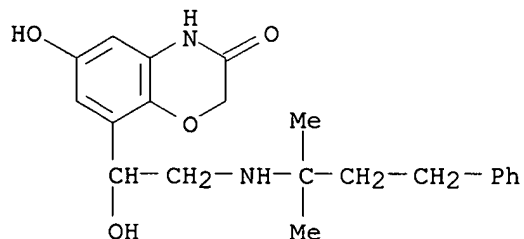
● HCl

RN 85938-04-1 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

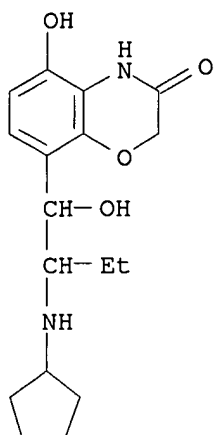
RN 85938-05-2 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 85967-15-3 CAPLUS  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclopentylamino)-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

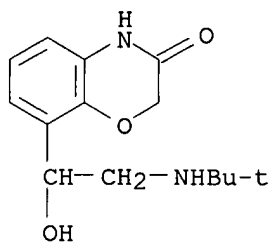
09/836,462



● HCl

RN 85967-16-4 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



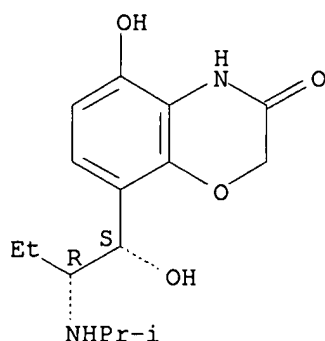
● HCl

RN 85967-17-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



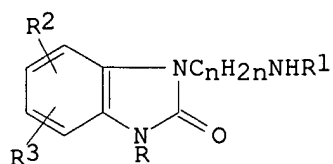


● HCl

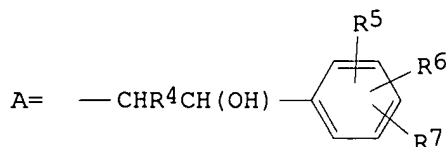
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS ✓  
 ACCESSION NUMBER: 1981:4017 CAPLUS  
 DOCUMENT NUMBER: 94:4017  
 TITLE: Aminoalkyl-substituted benzimidazolidin-2-ones  
 INVENTOR(S): Hoefke, Wolfgang; Mentrup, Anton; Reichl, Richard;  
 Renth, Ernst Otto; Schromm, Kurt; Traunecker, Werner  
 PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.  
 SOURCE: U.S., 45 pp. Cont.-in-part of U.S. 4,154,829.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4215119	A	19800729	US 1979-26608	19790403
DE 2609645	A1	19770915	DE 1976-2609645	19760309
US 4154829	A	19790515	US 1977-773394	19770302
US 4271158	A	19810602	US 1979-102904	19791213
US 4363814	A	19821214	US 1980-218786	19801222
PRIORITY APPLN. INFO.:			DE 1976-2609645	19760309
			US 1977-773394	19770302
			US 1979-26608	19790403
			US 1979-102904	19791213

GI



I



AB Title compds. I [R = H, alkyl; n = 2-6; R<sub>1</sub> = H, PhCH<sub>2</sub>, A [R<sub>4</sub> = H, Me, Et; R<sub>5</sub>, R<sub>6</sub>, and R<sub>7</sub> (same or different) are H, halo, CH<sub>2</sub>OH, CF<sub>3</sub>, alkyl, alkoxy, NO<sub>2</sub>, cyano, CONHR<sub>8</sub> (R<sub>8</sub> = H, alkyl, OH), CO<sub>2</sub>H, carbalkoxy, OH, alkanoyloxy, alkoxy, PhCH<sub>2</sub>O, MeSO<sub>2</sub>CH<sub>2</sub>; or R<sub>5</sub>R<sub>6</sub> = OCH<sub>2</sub>O, OCH<sub>2</sub>CH<sub>2</sub>O, benzo, OCH<sub>2</sub>CONH, CH<sub>2</sub>CH<sub>2</sub>CONH]; R<sub>2</sub> = H, halo, alkyl, alkoxy, CF<sub>3</sub>, NH<sub>2</sub>; R<sub>3</sub> = H, halo, alkyl, alkoxy, CF<sub>3</sub>; or R<sub>2</sub>R<sub>3</sub> = OCH<sub>2</sub>O, OCH<sub>2</sub>CH<sub>2</sub>O], useful as central nervous system stimulants, antihypertensives, and vasodilators (no data), were prepd. by different methods. A mixt of 3,4-(PhCH<sub>2</sub>O)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>COCH(OH)OEt and 1-(3-amino-3,3-dimethylpropyl)-2-benzimidazolinone in EtOH was heated 3 h, mixed with NaBH<sub>4</sub> at 0-5.degree., kept 12 h at room temp., acidified, and worked up to give I [R = R<sub>2</sub> = R<sub>3</sub> = H, CnH<sub>2</sub>n = CH<sub>2</sub>CH<sub>2</sub>CMe<sub>2</sub>, R<sub>1</sub> = A (R<sub>4</sub> = R<sub>7</sub> = H, R<sub>5</sub> = 3-PhCH<sub>2</sub>O, R<sub>6</sub> = 4-PhCH<sub>2</sub>O)]. Also prepd. was I [R = R<sub>2</sub> = R<sub>3</sub> = H, CnH<sub>2</sub>n = CH<sub>2</sub>CH<sub>2</sub>CMe<sub>2</sub>, R<sub>1</sub> = A (R<sub>4</sub> = R<sub>7</sub> = H, R<sub>5</sub> = 3-OH, R<sub>6</sub> = 4-OH)], which exhibited bronchodilator activity.

IT 75770-47-7 75770-48-8 75770-49-9

75770-52-4 75770-53-5

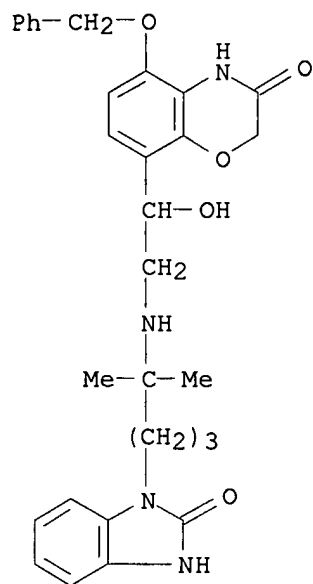
RL: RCT (Reactant)

(hydrogenolysis of)

RN 75770-47-7 CAPLUS

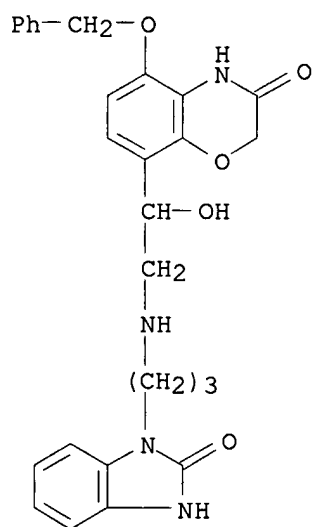
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylbutyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

09/836,462



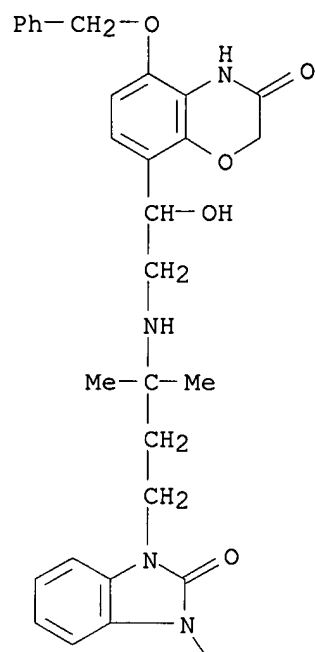
RN 75770-48-8 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

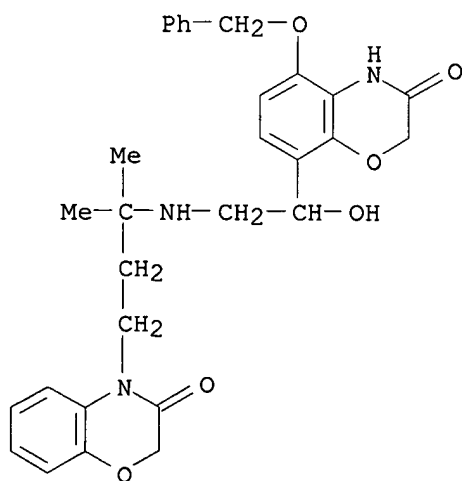


RN 75770-49-9 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



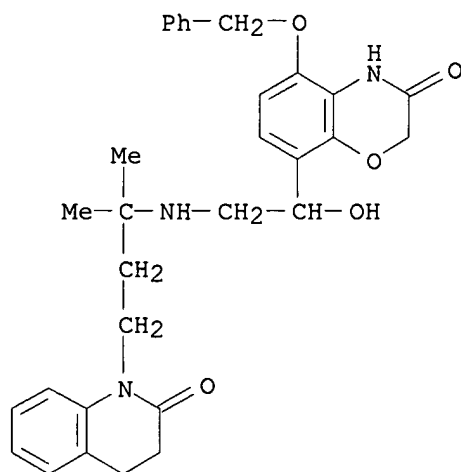
RN 75770-52-4 CAPLUS  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI)  
 (CA INDEX NAME)



RN 75770-53-5 CAPLUS

09/836,462

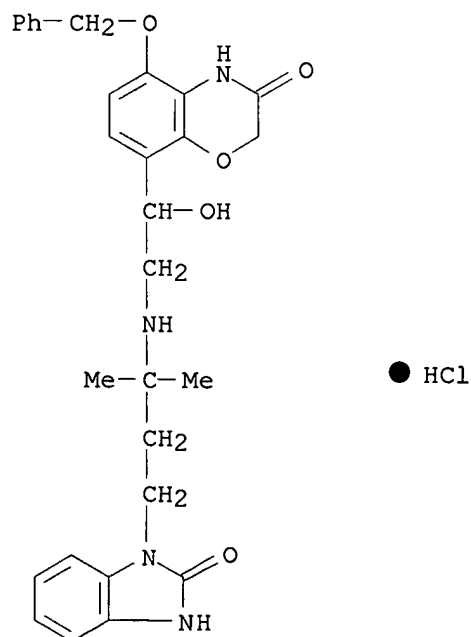
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(3,4-dihydro-2-oxo-1(2H)-quinolinyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT 75755-92-9P 75756-18-2P 75756-20-6P  
75756-21-7P 75756-23-9P 75756-24-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 75755-92-9 CAPLUS

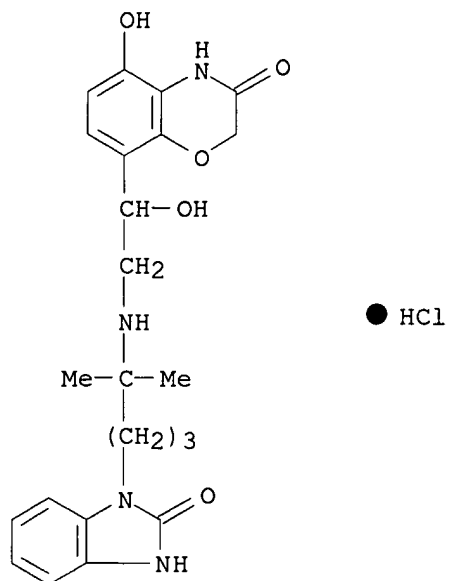
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 75756-18-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylbutyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



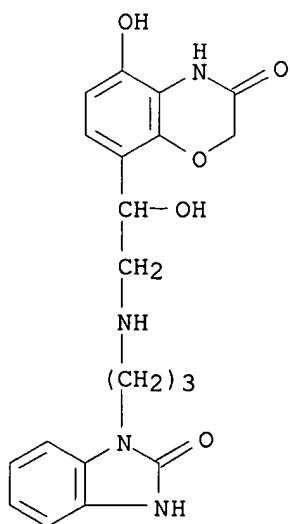
RN 75756-20-6 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]amino]-1-hydroxyethyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 75756-19-3

CMF C20 H22 N4 O5

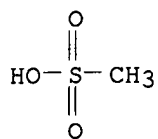


CM 2

CRN 75-75-2

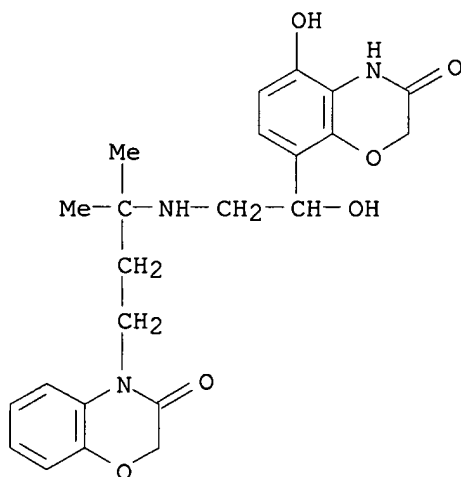
CMF C H4 O3 S

09/836,462



RN 75756-21-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 75756-23-9 CAPLUS

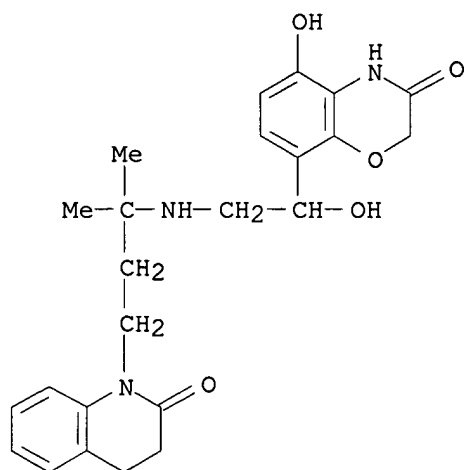
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(3,4-dihydro-2-oxo-1(2H)-quinolinyl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 75756-22-8

CMF C24 H29 N3 O5

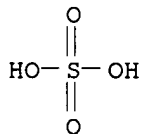
09/836,462



CM 2

CRN 7664-93-9

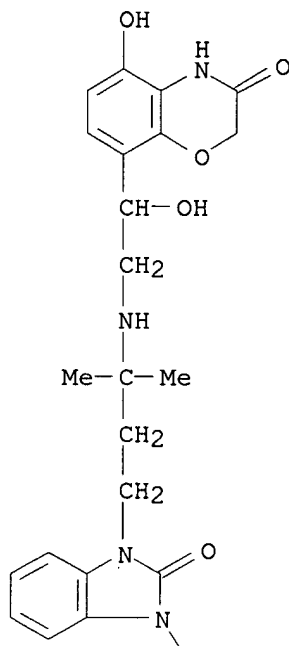
CMF H2 O4 S



RN 75756-24-0 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)





Me

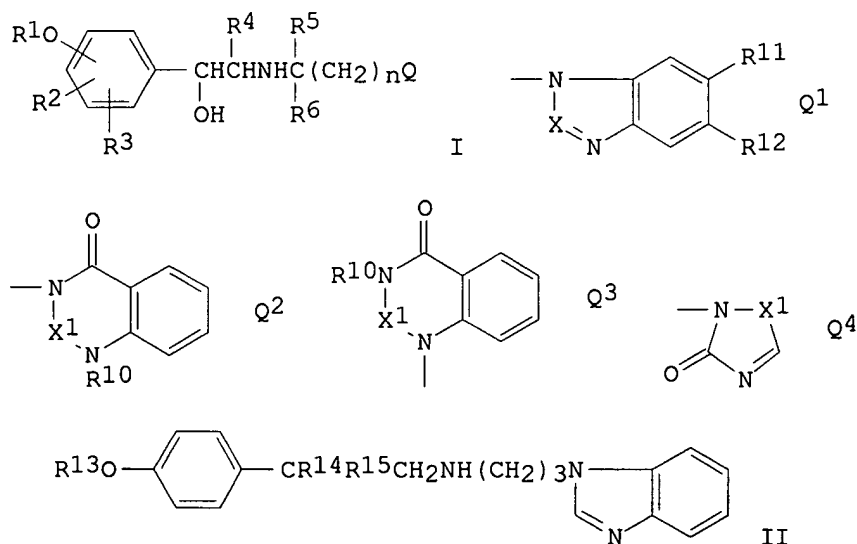
● HCl

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1980:514558 CAPLUS  
 DOCUMENT NUMBER: 93:114558  
 TITLE: N-Substituted heterocyclics  
 INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto;  
 Fuegner, Armin; Streller, Ilse  
 PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 45 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2833140	A1	19800207	DE 1978-2833140	19780728
DE 2833140	C2	19910627		
EP 8653	A1	19800319	EP 1979-102580	19790721
EP 8653	B1	19820616		
EP 8653	B2	19880504		

R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 1193	E	19820715	AT 1979-102580	19790721
JP 55020783	A2	19800214	JP 1979-95547	19790726
JP 01044704	B4	19890929		
DK 7903176	A	19800129	DK 1979-3176	19790727
DK 155737	B	19890508		
DK 155737	C	19891030		
FI 7902356	A	19800129	FI 1979-2356	19790727
FI 75562	B	19880331		
FI 75562	C	19880711		
NO 7902485	A	19800129	NO 1979-2485	19790727
NO 151364	B	19841217		
NO 151364	C	19850327		
AU 7949303	A1	19800131	AU 1979-49303	19790727
AU 528003	B2	19830331		
ES 482888	A1	19800416	ES 1979-482888	19790727
ES 482897	A1	19800416	ES 1979-482897	19790727
ES 482898	A1	19800416	ES 1979-482898	19790727
ZA 7903861	A	19810325	ZA 1979-3861	19790727
CA 1132550	A1	19820928	CA 1979-332719	19790727
IL 57916	A1	19831031	IL 1979-57916	19790727
US 4378361	A	19830329	US 1981-285713	19810722
US 4581367	A	19860408	US 1982-443912	19821123
US 4647563	A	19870303	US 1985-806692	19851209
PRIORITY APPLN. INFO.:		DE 1978-2833140		19780728
		EP 1979-102580		19790721
		US 1979-60389		19790725
		US 1980-156928		19800606
		US 1981-285713		19810722
		US 1982-443912		19821123

GI



AB Ethanolamines I [R1 = H, acyl; R2 = H, R10, NHSO2R7, NHCOR8, NHCONHR8, NHCH2C6H4R9, CH2OH, CH2SO2R7, CONHR8, halo, cyano; R3 = H, halo, R7, OR7; R2R3 = NHCOCH2O, NHC(O)O, NHCOCH:CH; R4 = H, Me, Et; R5, R6 independently = H, Me; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl; R9 = H, C1-4 alkyl, C1-4 alkoxy, oxaalkoxy; R10 = H, C1-4 alkyl, Ph, pyridyl; R11, R12 independently = H, Me, Cl, OMe, R11R12 = OCH2O; Q = Q1, Q2, Q3, Q4; X =

CR10, N; X1 = CH2, CO], their racemates, enantiomers, diastereoisomeric antipodal pairs, and their acid addn. salts, useful as bronchodilators, spasmolytics, vasodilators, antihypertensives, and for treatment of allergy (no data), were prepd. by 3 methods. Thus, 4-PhCH2OC6H4COCH2Br and 1-(aminopropyl)benzimidazole stirred 1 h in MeCN at 30-40.degree. gave a ppt. of hydrobromide and mother liquor from which was isolated benzimidazole II (R13 = PhCH2, R14R15 = O) as the maleate. This was successively converted into the free base (NH4OH), reduced (NaBH4-EtOH), and hydrogenolyzed (over Pd/C in MeOH) to give 83% ethanolamine II (R13 = R14 = H, R15 = OH).

IT **73865-57-3P 73866-11-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep. of)

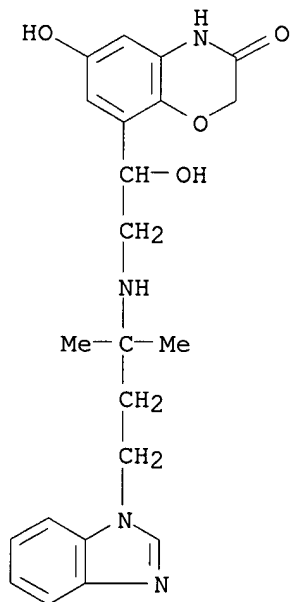
RN 73865-57-3 CAPLUS

CN Formic acid, compd. with 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-6-hydroxy-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 73865-56-2

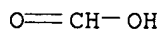
CMF C22 H26 N4 O4



CM 2

CRN 64-18-6

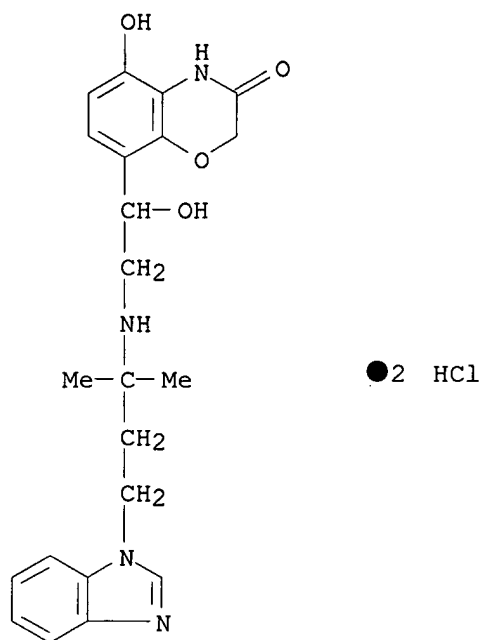
CMF C H2 O2



RN 73866-11-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, dihydrochloride (9CI)  
(CA INDEX NAME)

09/836,462



=> file uspatall

FILE 'USPATFULL' ENTERED AT 16:22:44 ON 14 JUN 2002

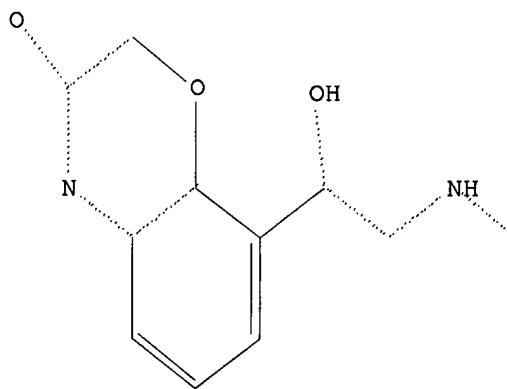
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:22:44 ON 14 JUN 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 107 SEA FILE=REGISTRY SSS FUL L1

L5 8 SEA L3

=> d l5 1-8 ibib abs hitstr

09/836,462

L5 ANSWER 1 OF 8 USPATFULL

ACCESSION NUMBER: 2002:37905 USPATFULL

TITLE: Betamimetics having a long-lasting activity, processes for preparing them, and their use as medicaments

INVENTOR(S): Walland, Alexander, Ingelheim, GERMANY, FEDERAL REPUBLIC OF  
Schromm, Kurt, Ingelheim, GERMANY, FEDERAL REPUBLIC OF  
Bozung, Karl-Heinz, Mainz, GERMANY, FEDERAL REPUBLIC OF  
Schollenberger, Herman, Ingelheim, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002022625	A1	20020221
APPLICATION INFO.:	US 2001-836462	A1	20010418 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	EC 2000-3424	20000427
	DE 2000-10051318	20001017
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BOEHRINGER INGELHEIM CORPORATION, 900 RIDGEBURY ROAD, P. O. BOX 368, RIDGEFIELD, CT, 06877	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
LINE COUNT:	421	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula 1 ##STR1##

wherein R.sup.3 is a benzyl group optionally substituted by a methoxy group; R.sup.4 is a hydrogen atom, or R.sup.3 and R.sup.4 together are a --CO--CH.sub.2--O-- bridge, the carbonyl group of the bridge being bound to the nitrogen; and

R.sup.2 is a group selected from ##STR2##

wherein

R.sup.5 is a dimethylamino, methoxy, or butoxy group,

X is a nitrogen or a carbon atom, and

R.sup.6 is a methoxyphenyl group, if X is nitrogen, or is an anellated phenyl ring also linked to X, if X is carbon,

or the individual optical isomers, mixtures of the individual enantiomers, racemates, or acid addition salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

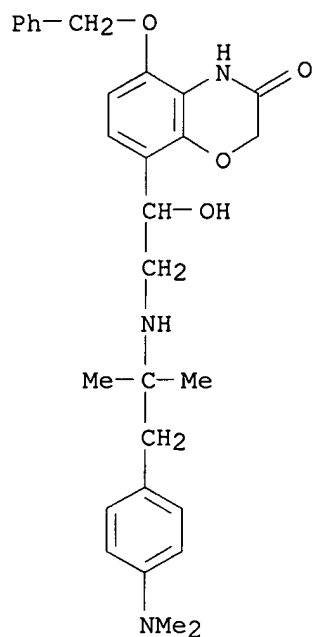
IT 124955-15-3P 371754-04-0P

(prepn. of heterocyclic aminoethanols as betamimetics)

RN 124955-15-3 USPATFULL

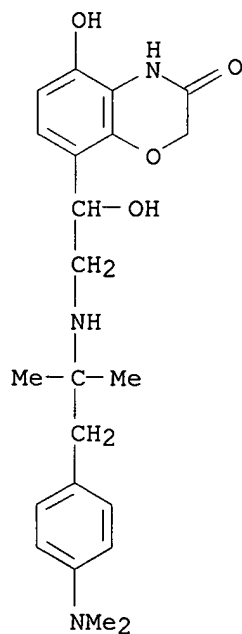
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

09/836,462



RN 371754-04-0 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy- (9CI) (CA INDEX NAME)



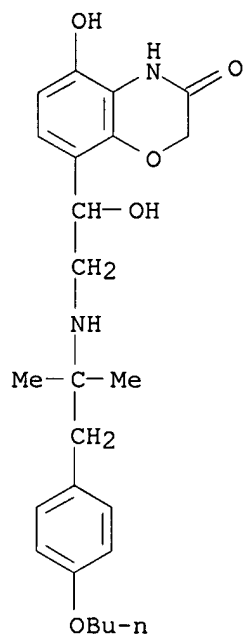
IT 371754-06-2P 371754-09-5P 371754-11-9P  
371754-13-1P 371754-14-2P 371754-17-5P  
371754-19-7P 371754-22-2P

(prepn. of heterocyclic aminoethanols as betamimetics)

RN 371754-06-2 USPATFULL

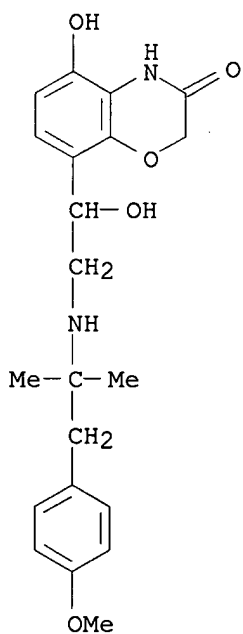
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-butoxyphenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy- (9CI) (CA INDEX NAME)

09/836,462



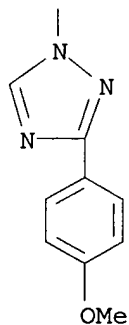
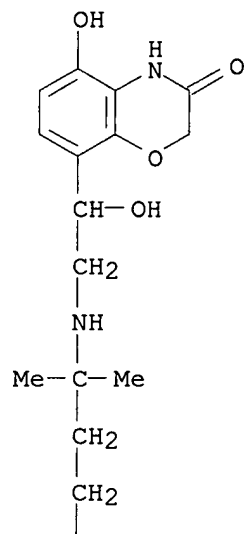
RN 371754-09-5 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 371754-11-9 USPATFULL

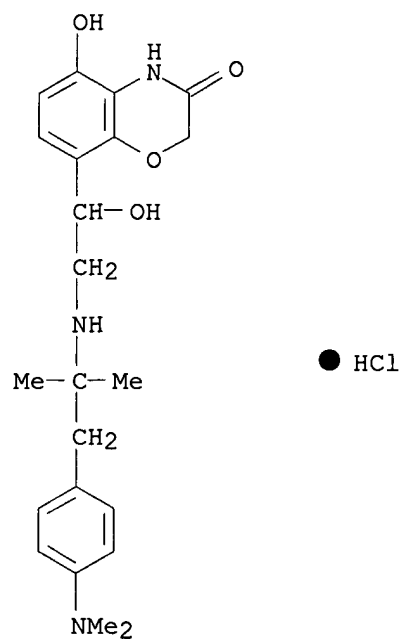
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[3-[3-(4-methoxyphenyl)-1H-1,2,4-triazol-1-yl]-1,1-dimethylpropyl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 371754-13-1 USPATFULL  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



09/836,462



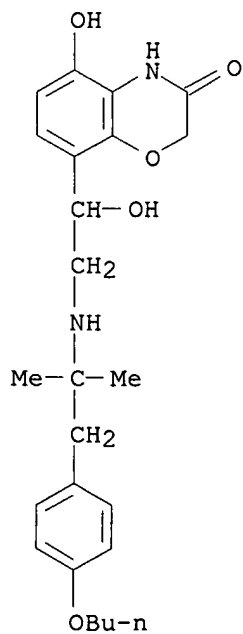
RN 371754-14-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-butoxyphenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 371754-06-2

CMF C24 H32 N2 O5

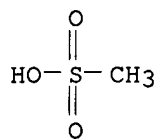


09/836,462

CM 2

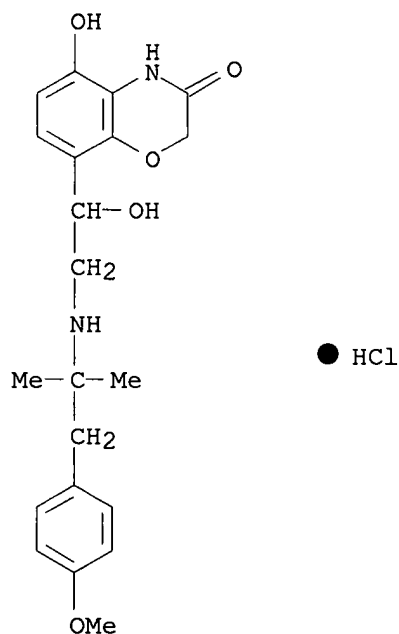
CRN 75-75-2

CMF C H4 O3 S



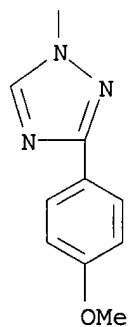
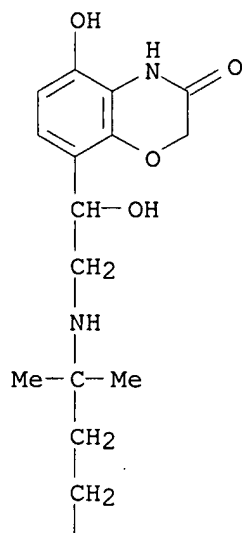
RN 371754-17-5 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1,1-dimethylethyl]amino]ethyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



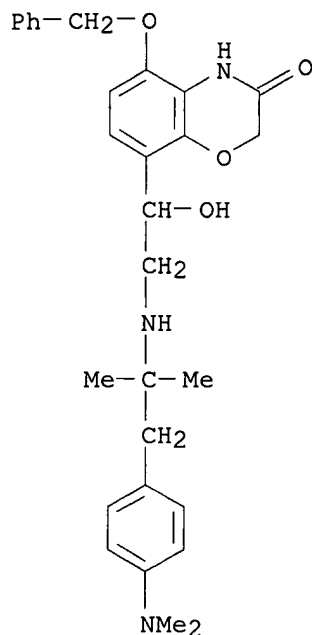
RN 371754-19-7 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[3-[3-(4-methoxyphenyl)-1H-1,2,4-triazol-1-yl]-1,1-dimethylpropyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 371754-22-2 USPATFULL  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L5 ANSWER 2 OF 8 USPATFULL

ACCESSION NUMBER: 2001:173126 USPATFULL

TITLE: Ipratropium bromide enantiomer with prolonged duration of effect

INVENTOR(S): Banholzer, Rolf, Stuttgart, Germany, Federal Republic of  
Reichl, Richard, Gau-Algesheim, Germany, Federal Republic ofPATENT ASSIGNEE(S): Disse, Bernd, Mainz, Germany, Federal Republic of  
Speck, Georg, Ingelheim, Germany, Federal Republic of  
Boehringer Ingelheim KG, Ingelheim, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6299861	B1	20011009
APPLICATION INFO.:	US 1999-369711		19990806 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 983420, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1995-19528145	19950801
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Page, Thurman K.	
ASSISTANT EXAMINER:	Pulliam, Amy E	
LEGAL REPRESENTATIVE:	Raymond, Robert P., Stempel, Alan R., Devlin, Mary-Ellen M.	

NUMBER OF CLAIMS: 9  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)  
 LINE COUNT: 182

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB On account of the surprisingly powerful and long-lasting effect thereof, the salts of the L-(-)-enantiomer of (endo, syn)-(-)-3-(3-hydroxy-1-oxo-

2-phenylpropoxy)-8-methyl-8-(methylethyl)-8-azoniabicyclo [3,2,1]octane are suitable as active substances for drugs administered by inhalation for respiratory tract therapy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

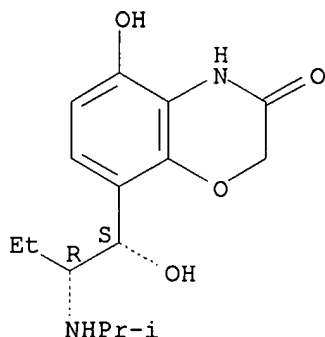
IT **92628-33-6**

(antiasthmatic pharmaceuticals contg. methylethylazoniabicyclooctane salts)

RN 92628-33-6 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 3 OF 8 USPATFULL

ACCESSION NUMBER: 93:52692 USPATFULL

TITLE: New quaternary ammonium compounds, their preparation and use

INVENTOR(S): Schromm, Kurt, Ingleheim am Rhein, Germany, Federal Republic of  
Mentrup, Anton, Wiesbaden, Germany, Federal Republic of  
Renth, Ernst-Otto, Ingleheim am Rhein, Germany, Federal Republic of  
Mualcevic, Gojko, Ingleheim am Rhein, Germany, Federal Republic of  
Traunecker, Werner, Munster-Sarmsheim, Germany, Federal Republic of

PATENT ASSIGNEE(S): Boehringer Ingelheim GmbH, Ingleheim am Rhein, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5223614		19930629
APPLICATION INFO.:	US 1990-603585		19901025 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1988-286442, filed on 19 Dec 1988, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1987-3743265	19871219
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dees, Jose G.	
ASSISTANT EXAMINER:	Carr, Deborah D.	
LEGAL REPRESENTATIVE:	Frankhouser, D. E., Stempel, A. R., Timbers, M-E. M.	
NUMBER OF CLAIMS:	5	

09/836,462

EXEMPLARY CLAIM: 1  
LINE COUNT: 402

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula ##STR1## wherein the substituents are defined hereinbelow, useful in the treatment of bronchospasm are described.

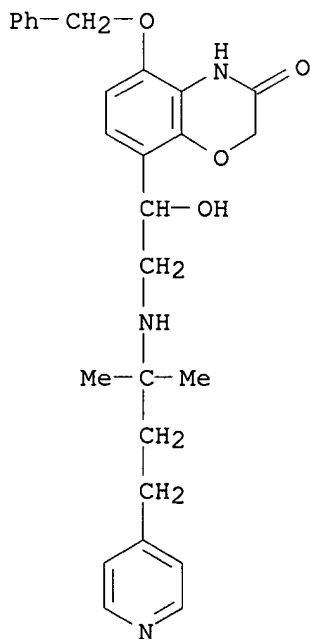
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 124955-10-8P 124955-11-9P 124955-13-1P  
124955-15-3P 124955-17-5P 124955-18-6P  
124955-23-3P 124955-24-4P 124955-25-5P  
124955-29-9P 124955-30-2P 124955-31-3P  
124955-39-1P 124955-41-5P 124955-42-6P  
124955-47-1P

(prepn. and reaction of, in prepn. of broncholytics)

RN 124955-10-8 USPATFULL

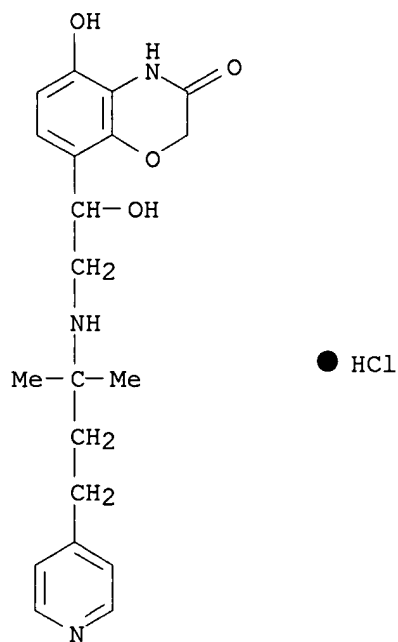
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-3-(4-pyridinyl)propyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 124955-11-9 USPATFULL

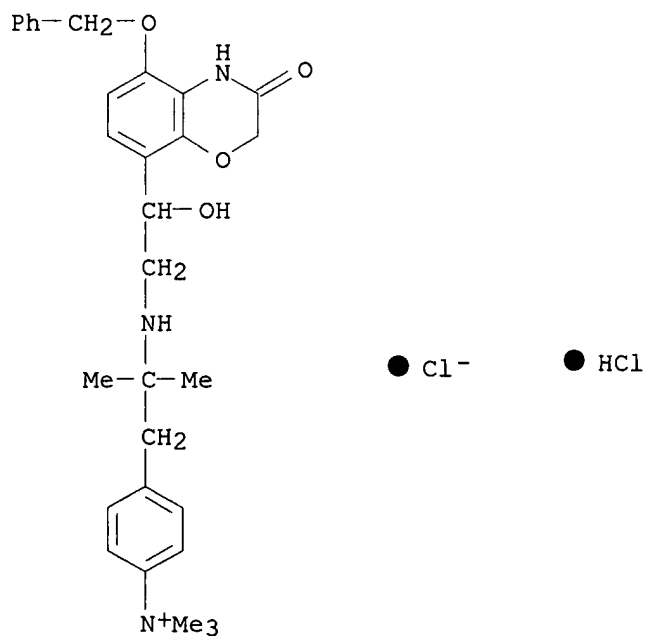
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-3-(4-pyridinyl)propyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



RN 124955-13-1 USPATFULL

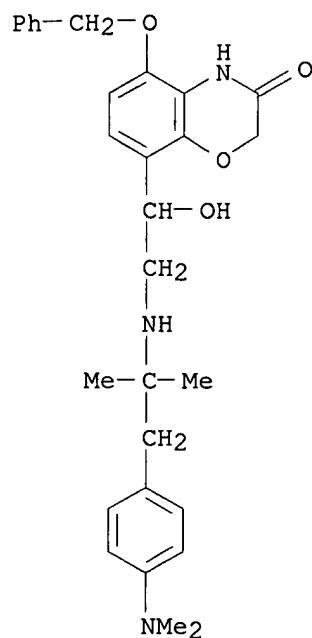
CN Benzenaminium, 4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N,N,N-trimethyl-, chloride, monohydrochloride (9CI) (CA INDEX NAME)



RN 124955-15-3 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

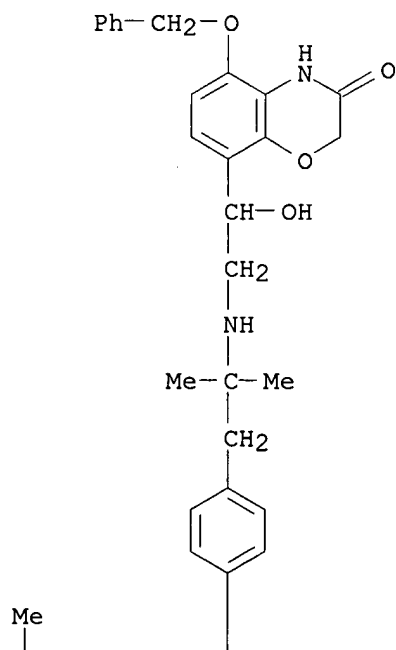
09/836,462



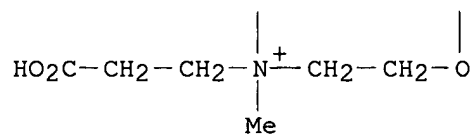
RN 124955-17-5 USPATFULL

CN Ethanaminium, 2-carboxy-N-[2-[4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A

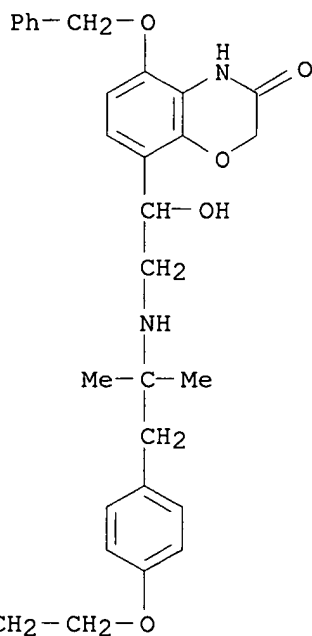






RN 124955-18-6 USPATFULL

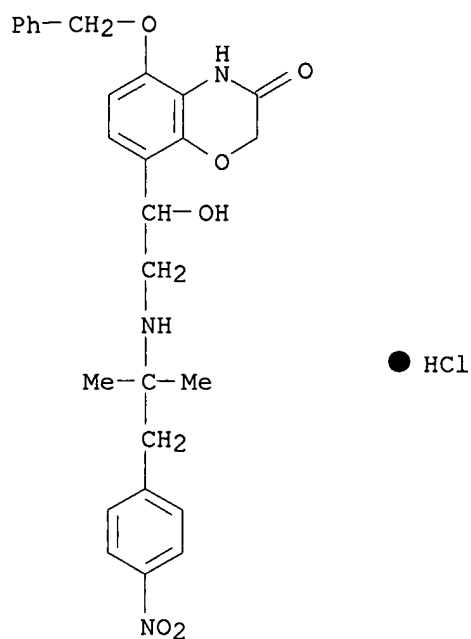
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-[2-(dimethylamino)ethoxy]phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 124955-23-3 USPATFULL

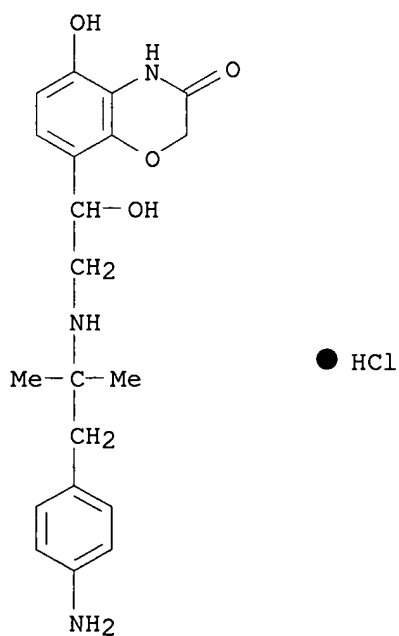
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-2-(4-nitrophenyl)ethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



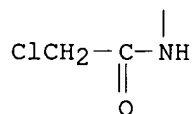
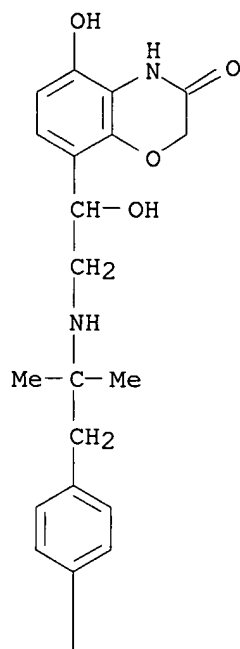
RN 124955-24-4 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-aminophenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



RN 124955-25-5 USPATFULL

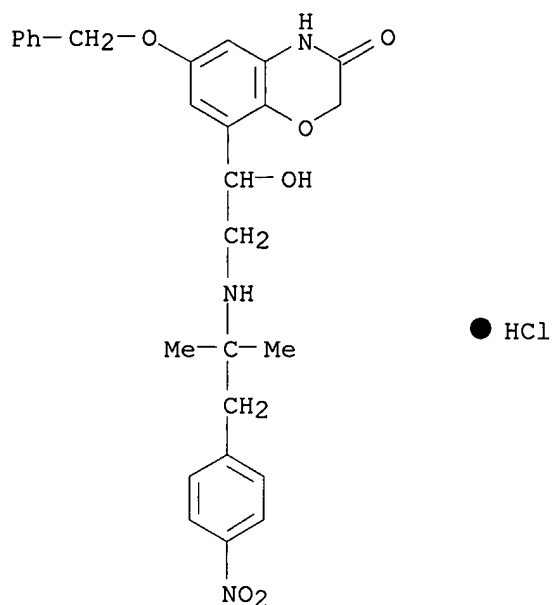
CN Acetamide, 2-chloro-N-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

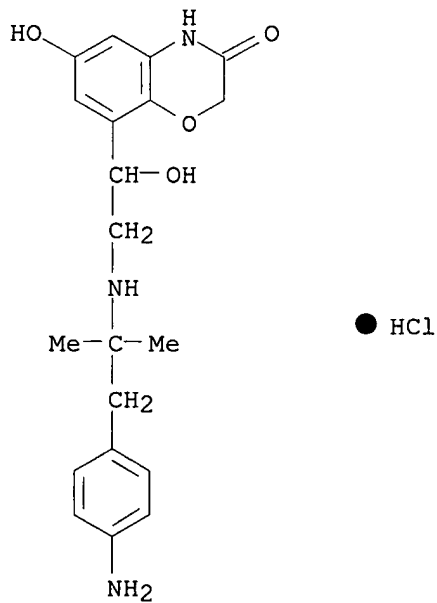
RN 124955-29-9 USPATFULL  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-2-(4-nitrophenyl)ethyl]amino]-1-hydroxyethyl]-6-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



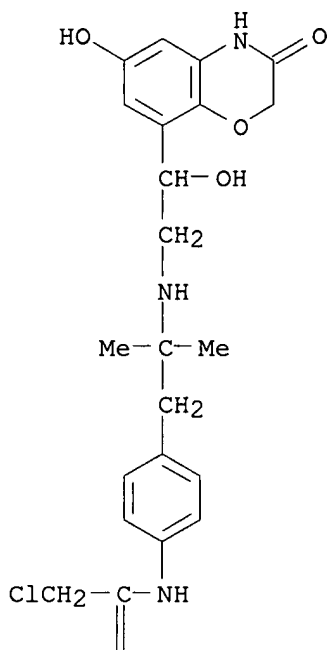
RN 124955-30-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-aminophenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI)  
(CA INDEX NAME)



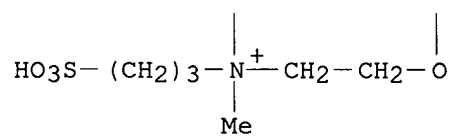
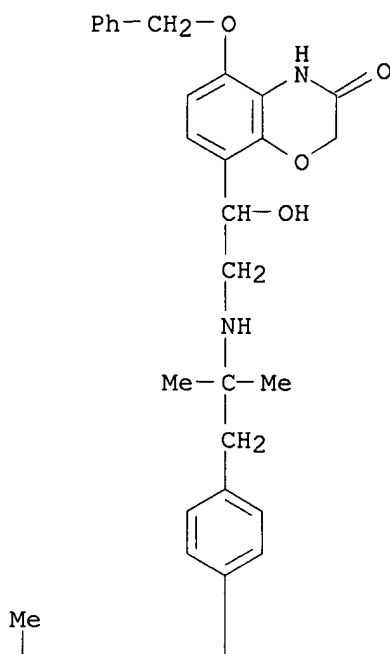
RN 124955-31-3 USPATFULL

CN Acetamide, 2-chloro-N-[4-[2-[[2-(3,4-dihydro-6-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



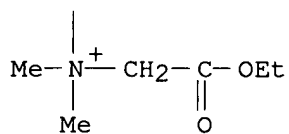
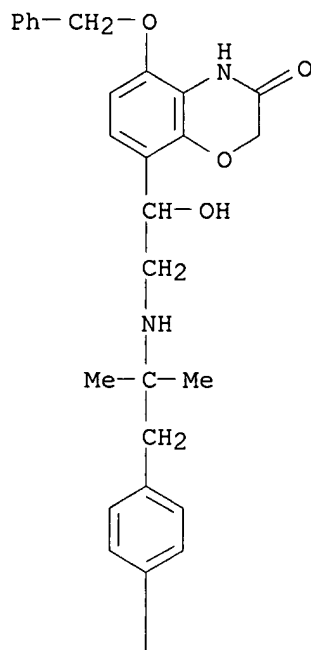
RN 124955-39-1 USPATFULL

CN 1-Propanaminium, N-[2-[4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-3-sulfo-, chloride (9CI) (CA INDEX NAME)



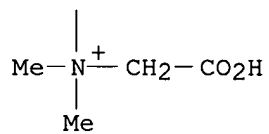
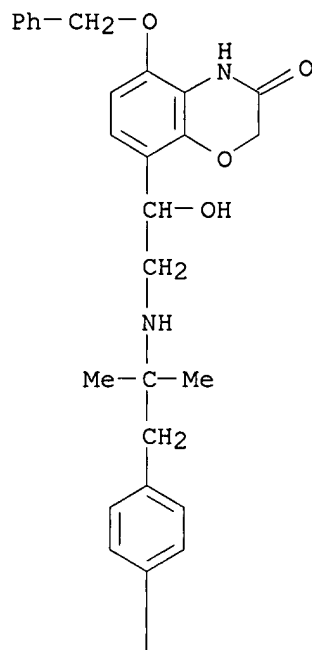
RN 124955-41-5 USPATFULL

CN Benzenaminium, 4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N-(2-ethoxy-2-oxoethyl)-N,N-dimethyl-, bromide, monohydrochloride (9CI) (CA INDEX NAME)



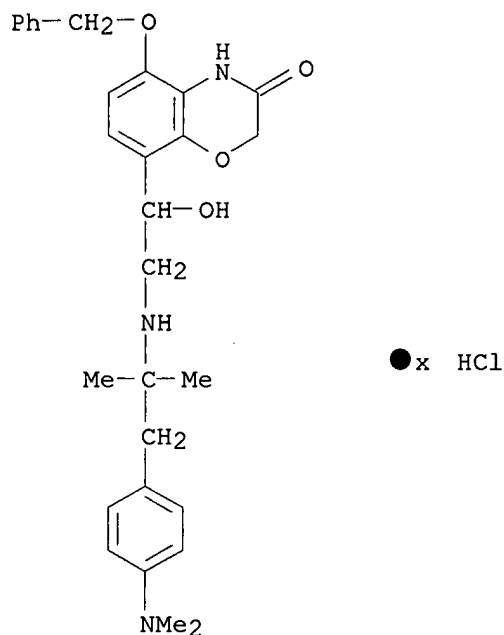
RN 124955-42-6 USPATFULL

CN Benzenaminium, N-(carboxymethyl)-4-[2-[[2-[3,4-dihydro-3-oxo-5-(phenylmethoxy)-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)



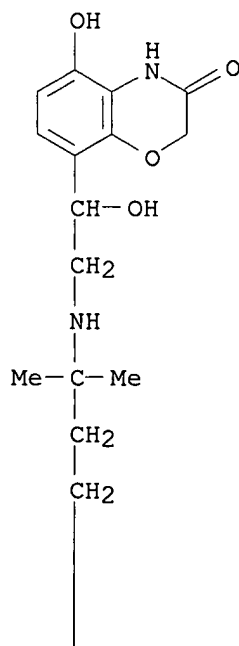
RN 124955-47-1 USPATFULL  
 CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-[4-(dimethylamino)phenyl]-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, hydrochloride  
 (9CI) (CA INDEX NAME)

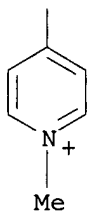




IT 124955-07-3P 124955-12-0P 124955-16-4P  
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 124955-38-0P 124955-40-4P 124955-43-7P  
 124955-46-0P 124955-48-2P 124998-73-8P  
 (prepn. of, as broncholytic)  
 RN 124955-07-3 USPATFULL  
 CN Pyridinium, 4-[3-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-  
 2-hydroxyethyl]amino]-3-methylbutyl]-1-methyl-, chloride,  
 monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

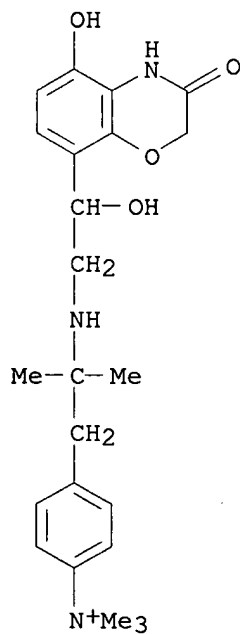


● Cl<sup>-</sup>

● HCl

RN 124955-12-0 USPATFULL

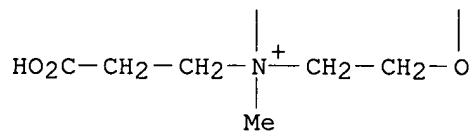
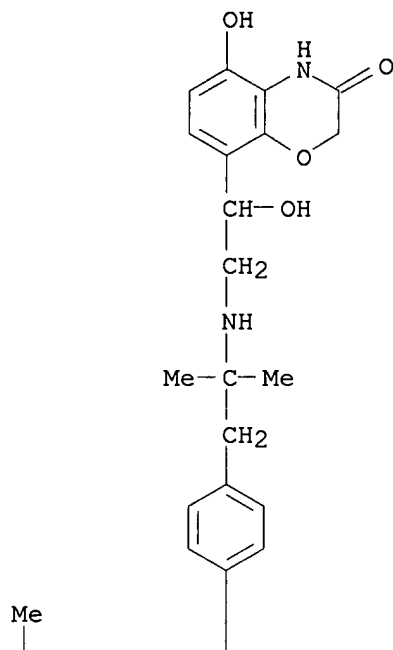
CN Benzenaminium, 4-[2-[[2-[3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl]-2-hydroxyethyl]amino]-2-methylpropyl]-N,N,N-trimethyl-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

● Cl<sup>-</sup>

● HCl

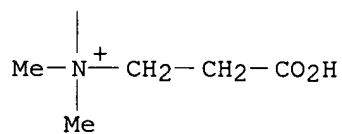
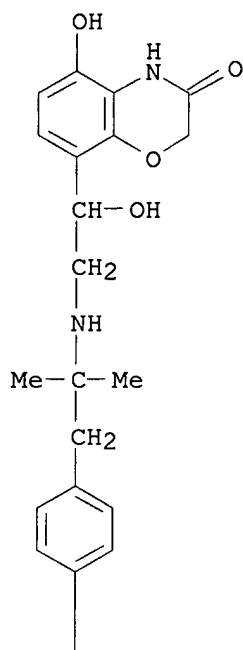
RN 124955-16-4 USPATFULL

CN Ethanaminium, 2-carboxy-N-[2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)

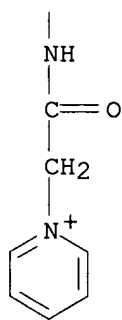
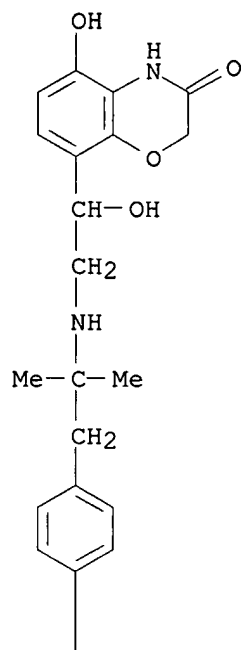


RN 124955-20-0 USPATFULL

CN Benzenaminium, N-(2-carboxyethyl)-4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)



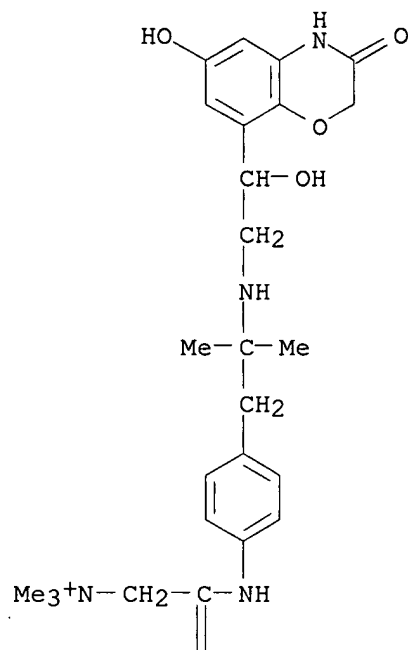
RN 124955-21-1 USPATFULL  
 CN Pyridinium, 1-[2-[[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]amino]-2-oxoethyl]-, chloride, monohydrochloride (9CI) (CA INDEX NAME)

● Cl<sup>-</sup>

● HCl

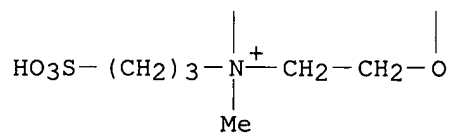
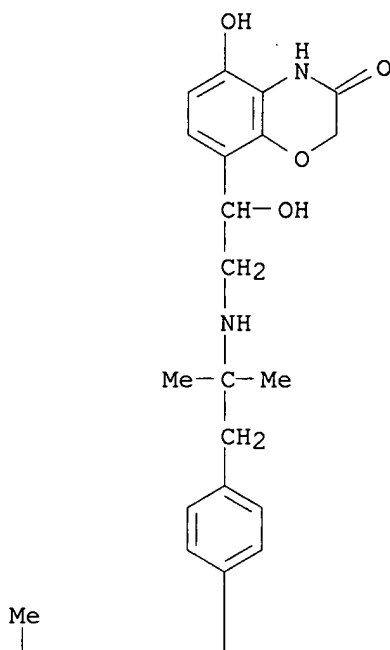
RN 124955-26-6 USPATFULL

CN Ethanaminium, 2-[[4-[2-[[2-(3,4-dihydro-6-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenyl]amino]-N,N,N-trimethyl-2-oxo-, chloride (9CI) (CA INDEX NAME)



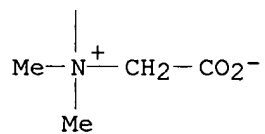
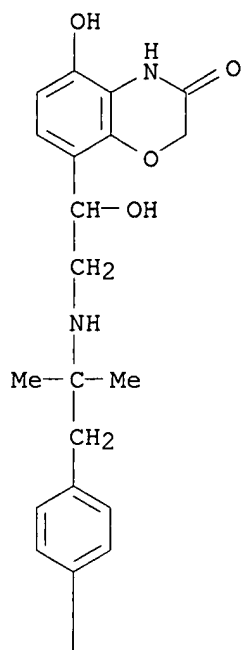
RN 124955-38-0 USPATFULL

CN 1-Propanaminium, N-[2-[4-[2-[(2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino)-2-methylpropyl]phenoxy]ethyl]-N,N-dimethyl-3-sulfo-, chloride (9CI) (CA INDEX NAME)



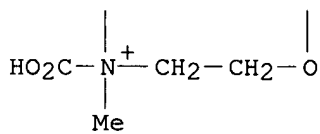
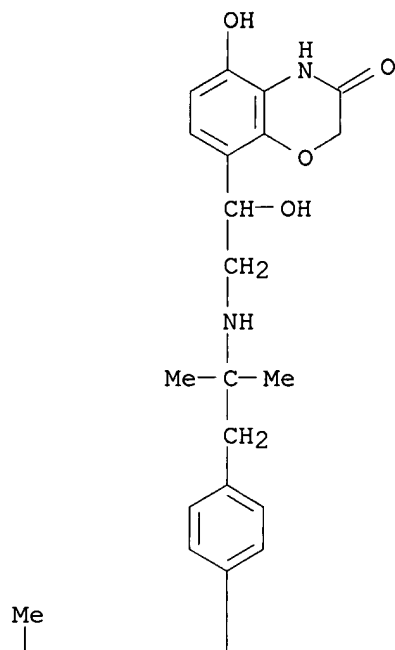
RN 124955-40-4 USPATFULL

CN Benzenaminium, N-(carboxymethyl)-4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, inner salt (9CI) (CA INDEX NAME)



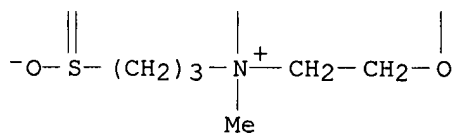
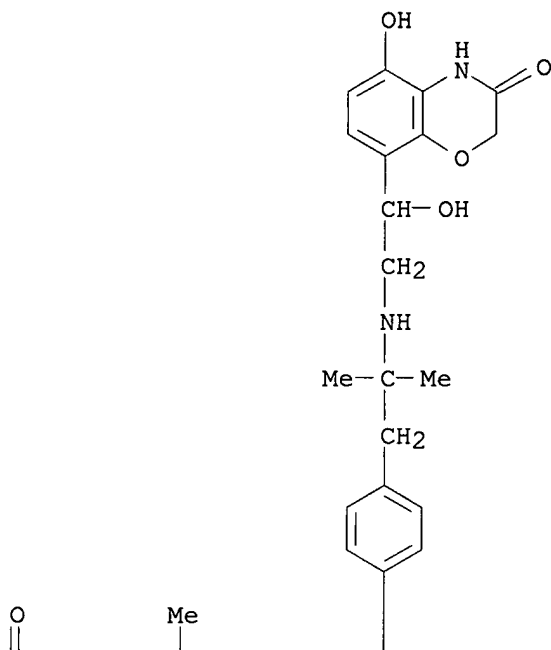
RN 124955-43-7 USPATFULL  
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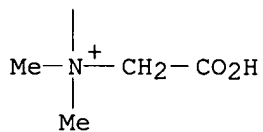
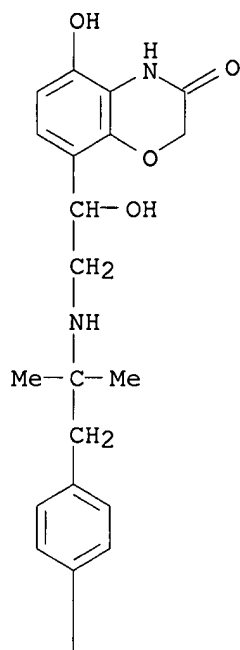
RN 124955-46-0 USPATFULL

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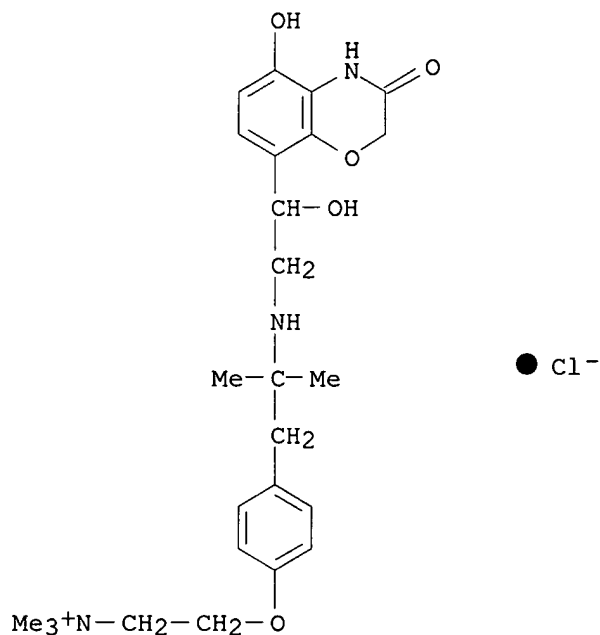
RN 124955-48-2 USPATFULL

CN Benzenaminium, N-(carboxymethyl)-4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]-N,N-dimethyl-, chloride (9CI) (CA INDEX NAME)



RN 124998-73-8 USPATFULL

CN Ethanaminium, 2-[4-[2-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-2-methylpropyl]phenoxy]-N,N,N-trimethyl-, chloride, monohydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 8 USPATFULL

ACCESSION NUMBER: 87:15254 USPATFULL

TITLE: Heterocyclic compounds

INVENTOR(S): Schromm, Kurt, Ingelheim, Germany, Federal Republic of  
 Mentrup, Anton, Mainz-Kastel, Germany, Federal Republic of  
 of  
 Renth, Ernst-Otto, Ingelheim, Germany, Federal Republic of  
 of  
 Fugner, Armin, Gau-Algesheim, Germany, Federal Republic of  
 of  
 Streller, Ilse, Dorrebach, Germany, Federal Republic of

PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Ingelheim am Rhein, Germany,  
 Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4647563		19870303
APPLICATION INFO.:	US 1985-806692		19851209 (6)
RELATED APPLN. INFO.:	Division of Ser. No. US 1982-443912, filed on 23 Nov 1982, now patented, Pat. No. US 4581367 which is a division of Ser. No. US 1981-285713, filed on 22 Jul 1981, now patented, Pat. No. US 4378361, issued on 29 Mar 1983 which is a continuation of Ser. No. US 1980-156928, filed on 6 Jun 1980, now abandoned which is a continuation of Ser. No. US 1979-60389, filed on 25 Jul 1979, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1978-2833140	19780728
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Hollrah, Glennon H.	
ASSISTANT EXAMINER:	Turnipseed, James H.	
LEGAL REPRESENTATIVE:	Hammond & Littell, Weissenberger & Dippert	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1,6	
LINE COUNT:	737	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## wherein Het is ##STR2## n is an integer from 1 to 4, inclusive, preferably 2 or 3, R.sub.1 is H or acyl, R.sub.2 is H, R.sub.1 O, --NHSO.sub.2 R.sub.7, --NHCOR.sub.8, --NHCONHR.sub.8, --NH--CH.sub.2 --C.sub.6 H.sub.4 --R.sub.9, --CH.sub.2 OH, --CH.sub.2 SO.sub.2 R.sub.7, --CONHR.sub.8, halogen or --CN, R.sub.3 is H, halogen, R.sub.7 or --OR.sub.7, R.sub.2 and R.sub.3 together with each other are ##STR3## R.sub.4 is H, --CH.sub.3 or --C.sub.2 H.sub.5, R.sub.5 and R.sub.6 are each H or --CH.sub.3, R.sub.7 is C.sub.1 -C.sub.4 alkyl, R.sub.8 is H or C.sub.1 -C.sub.4 alkyl, R.sub.9 is H, C.sub.1 -C.sub.4 alkyl or C.sub.1 -C.sub.4 alkoxy optionally interrupted by oxygen, R.sub.10 and R.sub.12 are each H, CH.sub.3, Cl or OCH.sub.3, or together methylenedioxy, X is ##STR4## or N, and Z is --CH.sub.2 or --CO; in the form of racemates, enantiomers, diastereoisomeric antipode pairs, and non-toxic, pharmacologically acceptable acid addition salts thereof. The compounds as well as the salts are useful as bronchospasmolytics, spasmolytics, muscle-relaxants, antiallergics and hypotensives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **73865-57-3P 73866-11-2P**  
(prepn. of)

RN 73865-57-3 USPATFULL

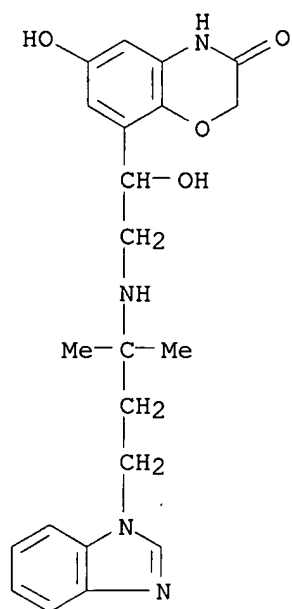
CN Formic acid, compd. with 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-6-hydroxy-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 73865-56-2

CMF C22 H26 N4 O4

09/836,462



CM 2

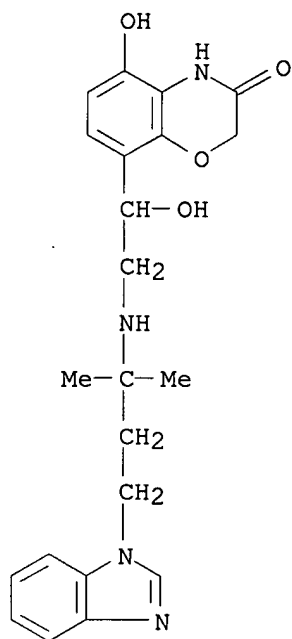
CRN 64-18-6

CMF C H2 O2

O=CH-OH

RN 73866-11-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

L5 ANSWER 5 OF 8 USPATFULL

ACCESSION NUMBER: 86:20282 USPATFULL

TITLE: 1-phenyl-2-(heterocyclyl-alkyl-amino)-ethanols

INVENTOR(S): Schromm, Kurt, Ingelheim, Germany, Federal Republic of  
Mentrup, Anton, Mainz-Kastel, Germany, Federal Republic ofof  
Renth, Ernst-Otto, Ingelheim, Germany, Federal Republic ofof  
Fugner, Armin, Gau-Algesheim, Germany, Federal Republic ofPATENT ASSIGNEE(S): Streller, Ilse, Dorrebach, Germany, Federal Republic of  
Boehringer Ingelheim KG, Ingelheim am Rhein, Germany,  
Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4581367		19860408
APPLICATION INFO.:	US 1982-443912		19821123 (6)
RELATED APPLN. INFO.:	Division of Ser. No. US 1981-285713, filed on 22 Jul 1981, now patented, Pat. No. US 4378361 which is a continuation of Ser. No. US 1980-156928, filed on 6 Jun 1980, now abandoned which is a continuation of Ser. No. US 1979-60389, filed on 25 Jul 1979, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1978-2833140	19780728
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Hollrah, Glennon H.	
ASSISTANT EXAMINER:	Turnipseed, James H.	
LEGAL REPRESENTATIVE:	Hammond & Littell, Weissenberger & Dippert	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1,5	
LINE COUNT:	762	

09/836,462

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula wherein

Het is a heterocycle;

n is an integer from 1 to 4, inclusive, preferably 2 or 3;

R.sub.1 is H or acyl;

R.sub.2 is H, R.sub.1 O, --NHSO.sub.2 R.sub.7, --NHCOR.sub.8, --NHCONHR.sub.8, --NH--CH.sub.2 --C.sub.6 H.sub.4 --R.sub.9, --CH.sub.2 OH, --CH.sub.2 SO.sub.2 R.sub.7, --CONHR.sub.8, halogen or --CN;

R.sub.3 is H, halogen, R.sub.7 or --OR.sub.7 ; or

R.sub.2 and R.sub.3, together with each other, are ##STR1## N.sub.4 is H, --CH.sub.3 or --C.sub.2 H.sub.5 ; R.sub.5 and R.sub.6 are each H or --CH.sub.3 ;

R.sub.7 is C.sub.1 -C.sub.4 alkyl;

R.sub.8 is H or C.sub.1 -C.sub.4 alkyl; and

R.sub.9 is H, C.sub.1 -C.sub.4 alkyl or C.sub.1 -C.sub.4 alkoxy optionally interrupted by oxygen;

and non-toxic, pharmacologically acceptable acid addition salts thereof. The compounds as well as the salts are useful as bronchospasmolytics, spasmolytics, muscle-relaxants, antiallergics and hypotensives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **73865-57-3P 73866-11-2P**

(prepn. of)

RN 73865-57-3 USPATFULL

CN Formic acid, compd. with 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-6-hydroxy-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI) (CA INDEX NAME)

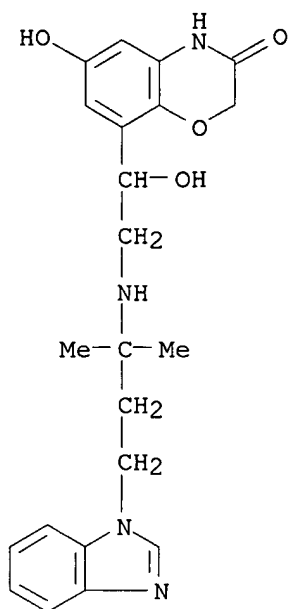
CM 1

CRN 73865-56-2

CMF C22 H26 N4 O4



09/836,462



CM 2

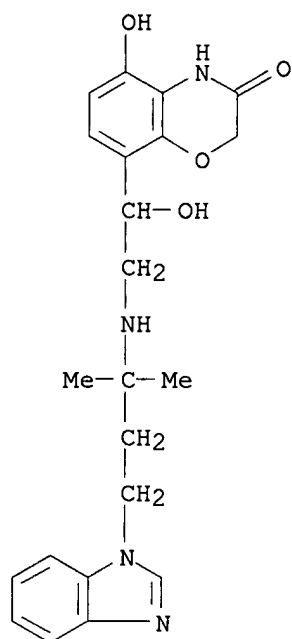
CRN 64-18-6

CMF C H2 O2

O=CH-OH

RN 73866-11-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

L5 ANSWER 6 OF 8 USPATFULL

ACCESSION NUMBER: 84:40002 USPATFULL

TITLE: (1-Hydroxy-2-amino-alkyl)-substituted benzoxazinones and benzoxazolinones

INVENTOR(S): Schromm, Kurt, Ingelheim am Rhein, Germany, Federal Republic of  
 Mentrup, Anton, Mainz-Kastel, Germany, Federal Republic of  
 Renth, Ernst-Otto, Ingelheim am Rhein, Germany, Federal Republic of  
 Fugner, Armin, Gau-Algesheim, Germany, Federal Republic of

PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Ingelheim am Rhein, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4460581		19840717
APPLICATION INFO.:	US 1982-433681		19821012 (6)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Raymond, Richard		
LEGAL REPRESENTATIVE:	Hammond & Littell, Weissenberger & Dippert		
NUMBER OF CLAIMS:	6		
EXEMPLARY CLAIM:	1,6		
LINE COUNT:	636		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## wherein A is a single bond, ##STR2##  
 R.sub.1 is --OH, --O--acyl, chlorine or hydrogen; R.sub.2 is hydrogen,  
 methyl or ethyl;

R.sub.3 is ##STR3## m is 2, 3 or 4; n is 1, 2 or 3;

R.sub.4 is hydrogen or lower alkyl;

R.sub.5 is hydrogen, lower alkyl or, when R.sub.4 is hydrogen, also phenyl;

R.sub.6, R.sub.7 and R.sub.8 are each hydrogen or methyl;

R.sub.9 is hydrogen, --Ar, --OAr or --NH--CO--Ar;

Ar is ##STR4## R.sub.10, R.sub.11 and R.sub.12 which may be identical to or different from each other, are each hydrogen, hydroxyl, methyl, methoxy, halogen, methylenedioxy, --NH--R.sub.13 or --CONH.sub.2 ; and

R.sub.13 is hydrogen, acyl or lower alkylsulfonyl;

and non-toxic, pharmaceutically acceptable acid addition salts thereof; the compounds as well as their salts are useful for the treatment of asthma, bronchitis, urticaria, conjunctivities, hay fever, colds and cardiovascular disorders, and for relaxation of the uterine musculature.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

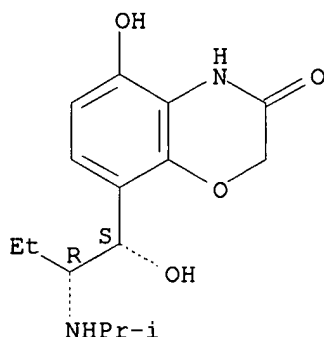
IT 85967-17-5P 92628-33-6P

(prepn. and broncholytic activity of)

RN 85967-17-5 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



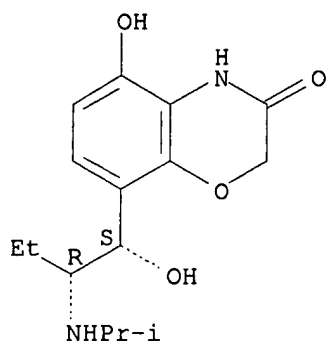
● HCl

RN 92628-33-6 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[(1R,2S)-1-hydroxy-2-[(1-methylethyl)amino]butyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09/836,462

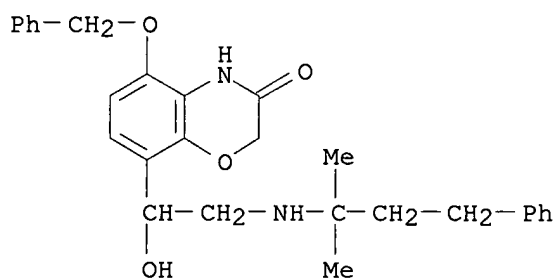


IT 85937-83-3P 85938-27-8P

(prepn. and debenzylation of)

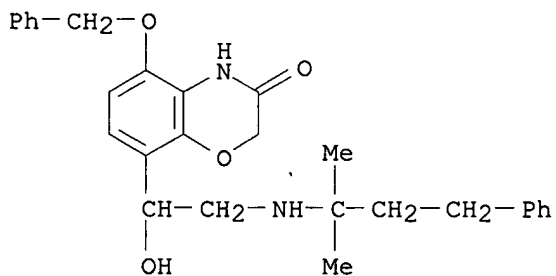
RN 85937-83-3 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 85938-27-8 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 85937-73-1P 85937-74-2P 85937-75-3P

85937-76-4P 85937-78-6P 85937-79-7P

85937-81-1P 85937-84-4P 85937-86-6P

85937-87-7P 85937-88-8P 85937-90-2P

85937-91-3P 85938-04-1P 85938-05-2P

09/836,462

85967-15-3P 92613-48-4P 92613-50-8P

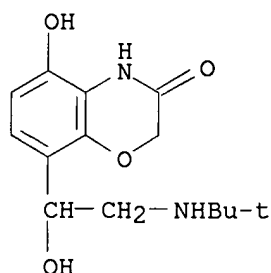
92613-51-9P 92613-52-0P 92613-54-2P

92613-57-5P

(prepn. of)

RN 85937-73-1 USPATFULL

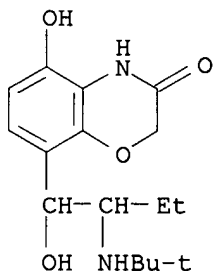
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 85937-74-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

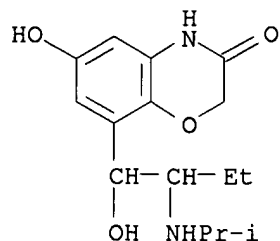


● HCl

RN 85937-75-3 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

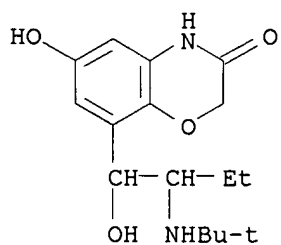
09/836,462



● HCl

RN 85937-76-4 USPATFULL

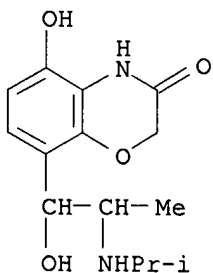
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxybutyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 85937-78-6 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

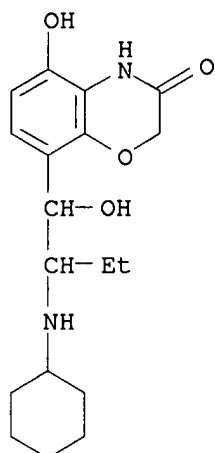


HCl

RN 85937-79-7 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclohexylamino)-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



● HCl

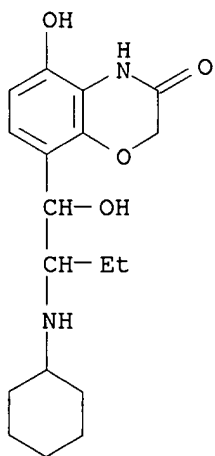
RN 85937-81-1 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclohexylamino)-1-hydroxybutyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 85937-80-0

CMF C18 H26 N2 O4

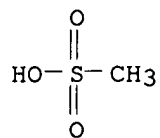


CM 2

CRN 75-75-2

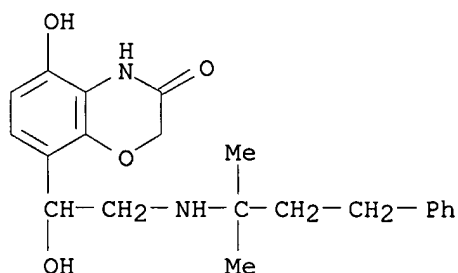
CMF C H4 O3 S

09/836,462



RN 85937-84-4 USPATFULL

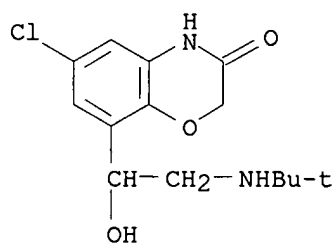
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 85937-86-6 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



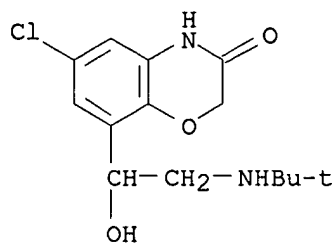
● HCl

RN 85937-87-7 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]- (9CI) (CA INDEX NAME)

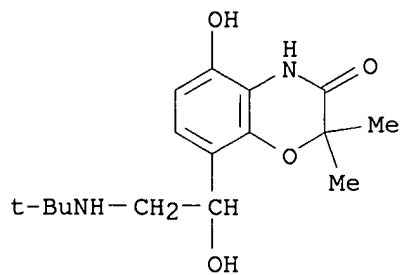


09/836,462



RN 85937-88-8 USPATFULL

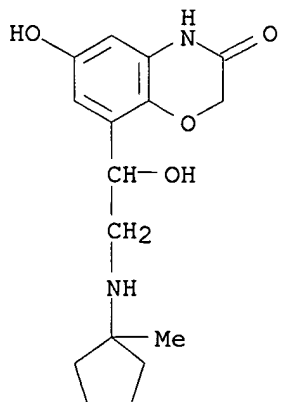
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-5-hydroxy-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 85937-90-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[(1-methylcyclopentyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

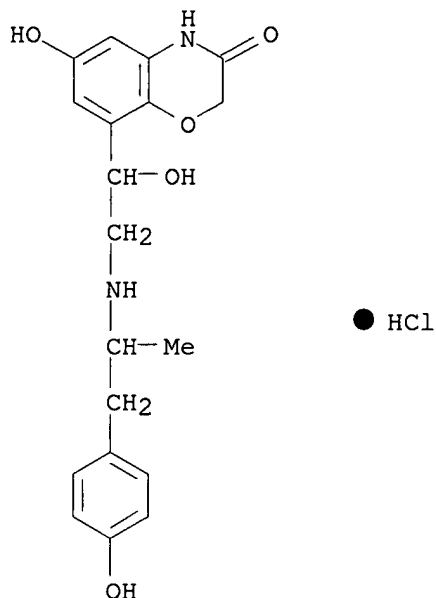


HCl

RN 85937-91-3 USPATFULL

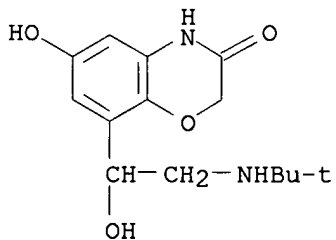
09/836,462

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 85938-04-1 USPATFULL

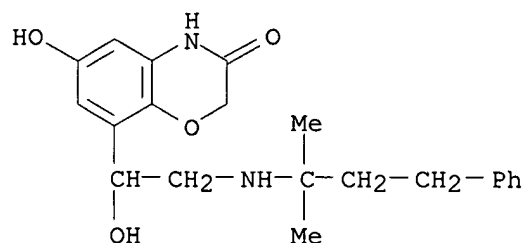
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



RN 85938-05-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[(1,1-dimethyl-3-phenylpropyl)amino]-1-hydroxyethyl]-6-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

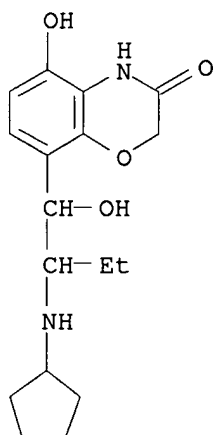
09/836,462



● HCl

RN 85967-15-3 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(cyclopentylamino)-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 92613-48-4 USPATFULL

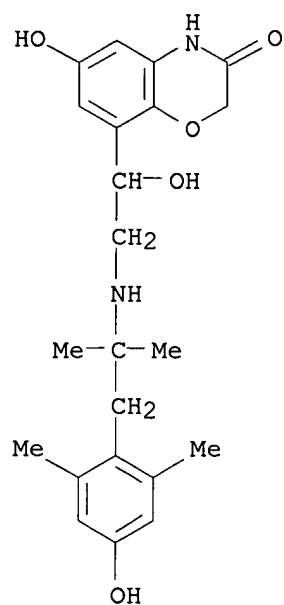
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxy-2,6-dimethylphenyl)-1,1-dimethylethyl]amino]ethyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-47-3

CMF C22 H28 N2 O5

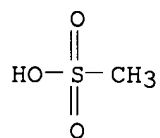
09/836,462



CM 2

CRN 75-75-2

CMF C H4 O3 S



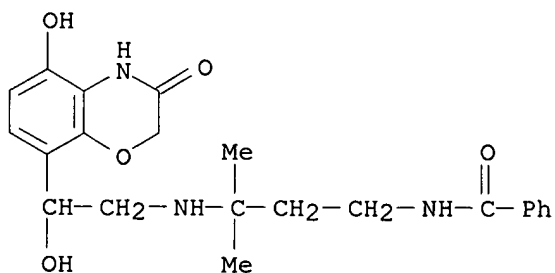
RN 92613-50-8 USPATFULL

CN Benzamide, N-[3-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-3-methylbutyl]-, monomethanesulfonate (salt) (9CI)  
(CA INDEX NAME)

CM 1

CRN 92613-49-5

CMF C22 H27 N3 O5

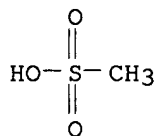


09/836,462

CM 2

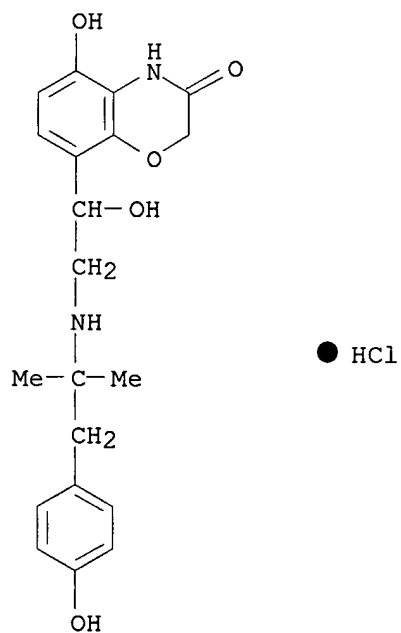
CRN 75-75-2

CMF C H4 O3 S



RN 92613-51-9 USPATFULL

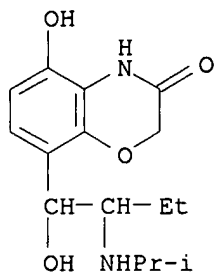
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1,1-dimethylethyl]amino]ethyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



RN 92613-52-0 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

09/836,462



● HCl

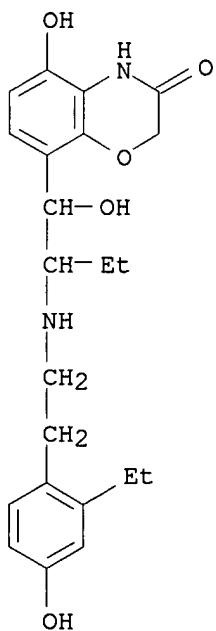
RN 92613-54-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(2-ethyl-4-hydroxyphenyl)ethyl]amino]-1-hydroxybutyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-53-1

CMF C22 H28 N2 O5

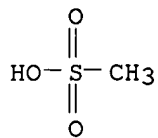


CM 2

CRN 75-75-2

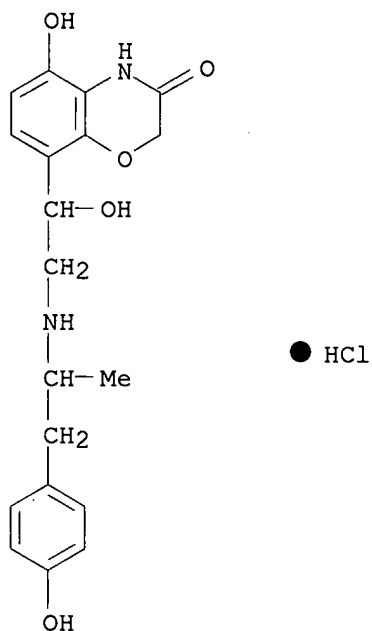
CMF C H4 O3 S

09/836,462



RN 92613-57-5 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



IT 92613-59-7P

(prepn. of)

RN 92613-59-7 USPATFULL

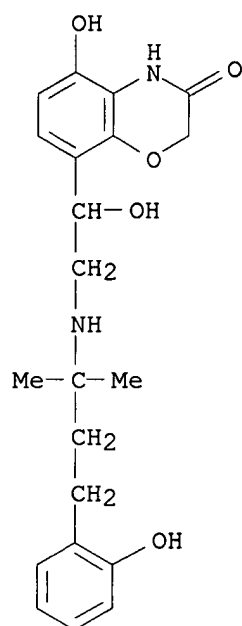
CN Formic acid, compd. with 5-hydroxy-8-[1-hydroxy-2-[[3-(2-hydroxyphenyl)-1,1-dimethylpropyl]amino]ethyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-58-6

CMF C21 H26 N2 O5

09/836,462



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

IT 92613-61-1P 92613-62-2P 92613-63-3P  
92613-65-5P 92613-67-7P 92613-68-8P  
92613-70-2P 92613-72-4P 92613-73-5P  
92613-74-6P 92613-75-7P  
(prepn. of)

RN 92613-61-1 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[1,1-dimethyl-2-(1-naphthalenyl)ethyl]amino]-1-hydroxyethyl]-5-hydroxy-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

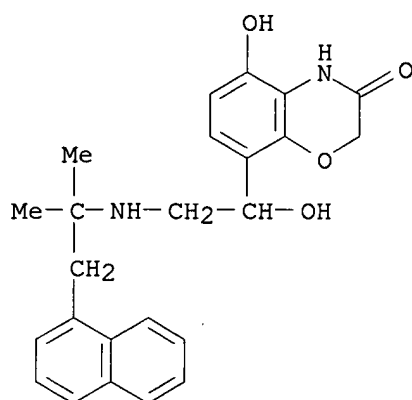
CM 1

CRN 92613-60-0

CMF C24 H26 N2 O4



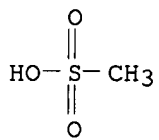
09/836,462



CM 2

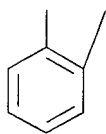
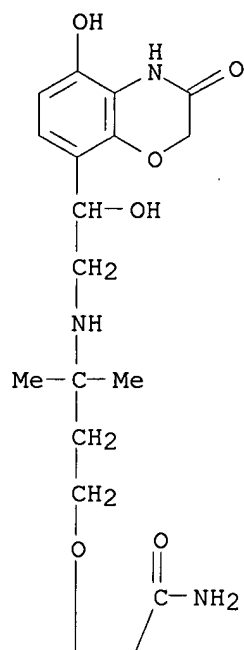
CRN 75-75-2

CMF C H4 O3 S



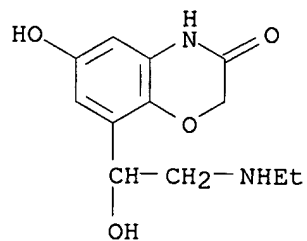
RN 92613-62-2 USPATFULL

CN Benzamide, 2-[3-[[2-(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)-2-hydroxyethyl]amino]-3-methylbutoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 92613-63-3 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-(ethylamino)-1-hydroxyethyl]-6-hydroxy-,  
monohydrochloride (9CI) (CA INDEX NAME)

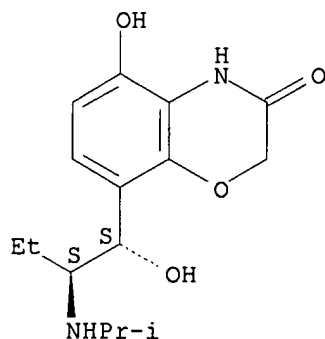
HCl

09/836,462

RN 92613-65-5 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-, monohydrochloride, (R\*,R\*)- (9CI) (CA INDEX NAME)

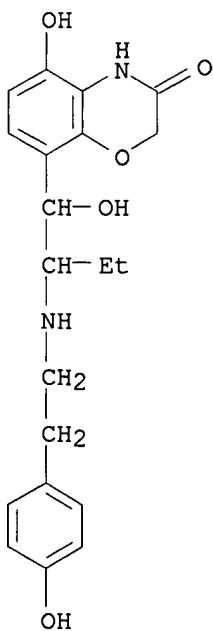
Relative stereochemistry.



● HCl

RN 92613-67-7 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)ethyl]amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

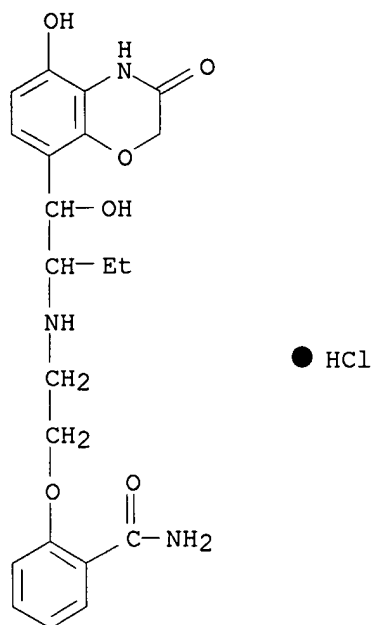


● HCl

.RN 92613-68-8 USPATFULL

CN Benzamide, 2-[2-[[1-[(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)hydroxymethyl]propyl]amino]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

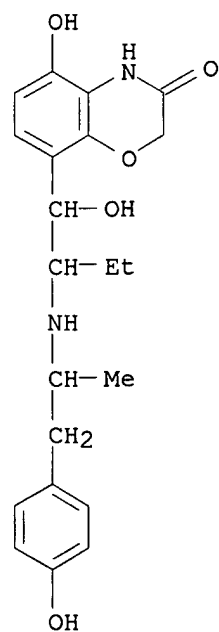
09/836,462



RN 92613-70-2 USPATFULL  
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-hydroxy-8-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]butyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-69-9  
CMF C21 H26 N2 O5

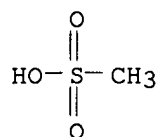


09/836,462

CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 92613-72-4 USPATFULL

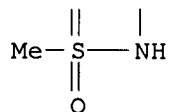
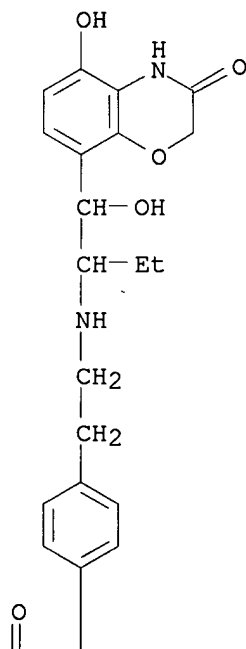
CN Methanesulfonamide, N-[4-[2-[[1-[(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)hydroxymethyl]propyl]amino]ethyl]phenyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 92613-71-3

CMF C21 H27 N3 O6 S

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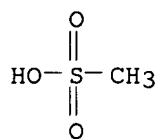
PAGE 2-A

09/836,462

CM 2

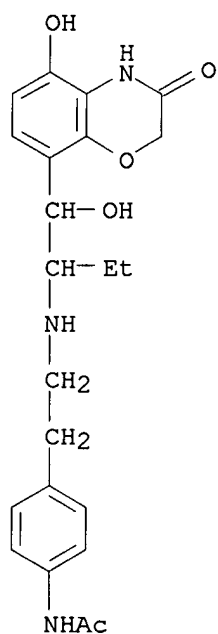
CRN 75-75-2

CMF C H4 O3 S



RN 92613-73-5 USPATFULL

CN Acetamide, N-[4-[2-[[1-[(3,4-dihydro-5-hydroxy-3-oxo-2H-1,4-benzoxazin-8-yl)hydroxymethyl]propyl]amino]ethyl]phenyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)

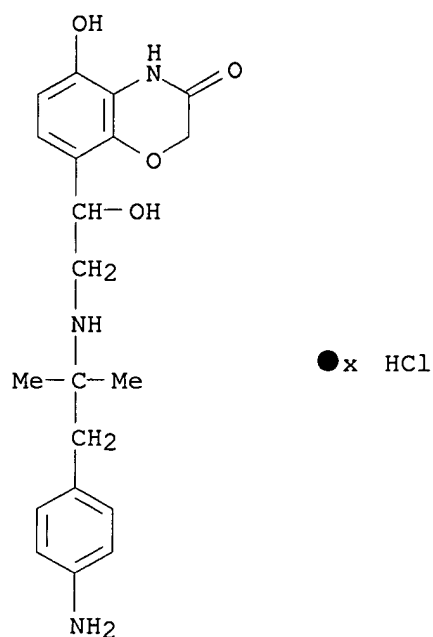


● HCl

RN 92613-74-6 USPATFULL

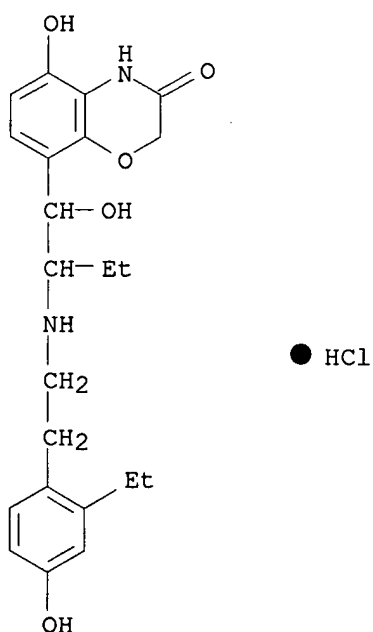
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(4-aminophenyl)-1,1-dimethylethyl]amino]-1-hydroxyethyl]-5-hydroxy-, hydrochloride (9CI)  
(CA INDEX NAME)

09/836,462



RN 92613-75-7 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[2-(2-ethyl-4-hydroxyphenyl)ethyl]amino]-1-hydroxybutyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 8 USPATFULL

ACCESSION NUMBER: 83:12915 USPATFULL

TITLE: Heterocyclic compounds

INVENTOR(S): Schromm, Kurt, Ingelheim, Germany, Federal Republic of  
Mentrup, Anton, Mainz-Kastel, Germany, Federal Republic

of  
 Renth, Ernst-Otto, Ingelheim, Germany, Federal Republic  
 of  
 Fugner, Armin, Gau-Algesheim, Germany, Federal Republic  
 of  
 Streller, Ilse, Dorrebach, Germany, Federal Republic of  
 PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Ingelheim am Rhein, Germany,  
 Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4378361		19830329
APPLICATION INFO.:	US 1981-285713		19810722 (6)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1980-156928, filed on 6 Jun 1980, now abandoned which is a continuation of Ser. No. US 1979-60389, filed on 25 Jul 1979, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1978-2833140	19780728
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Fan, Jane T.	
LEGAL REPRESENTATIVE:	Hammond & Littell, Weissenberger & Muserlian	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
LINE COUNT:	742	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## wherein Het is ##STR2## n is an integer from 1 to 4, inclusive, preferably 2 or 3, R.sub.1 is H or acyl,

R.sub.2 is H, R.sub.1 O, --NH<sub>2</sub>.sub.2 R.sub.7, --NHCOR.sub.8, --NHCONHR.sub.8, --NH--CH.sub.2 --C.sub.6 H.sub.4 --R.sub.9, --CH.sub.2 OH, --CH.sub.2 SO.sub.2 R.sub.7, --CONHR.sub.8, halogen or --CN,

R.sub.3 is H, halogen, R.sub.7 or --OR.sub.7,

R.sub.2 and R.sub.3 together with each other are ##STR3## R.sub.4 is H, --CH.sub.3 or --C.sub.2 H.sub.5, R.sub.5 and R.sub.6 are each H or --CH.sub.3,

R.sub.7 is C.sub.1 -C.sub.4 alkyl,

R.sub.8 is H or C.sub.1 -C.sub.4 alkyl,

R.sub.9 is H, C.sub.1 -C.sub.4 alkyl or C.sub.1 -C.sub.4 alkoxy optionally interrupted by oxygen,

R.sub.10 and R.sub.12 are each H, CH.sub.3, Cl or OCH.sub.3, or together methylenedioxy,

X is ##STR4## or N, and Z is --CH.sub.2 or --CO;

in the form of racemates, enantiomers, diastereoisomeric antipode pairs, and non-toxic, pharmacologically acceptable acid addition salts thereof. The compounds as well as the salts are useful as bronchospasmolytics, spasmolytics, muscle-relaxants, antiallergics and hypotensives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 73865-57-3P 73866-11-2P

(prepn. of)



09/836,462

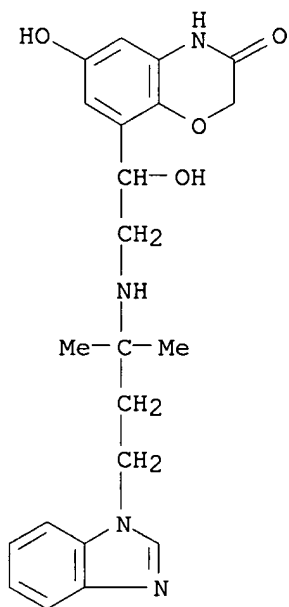
RN 73865-57-3 USPATFULL

CN Formic acid, compd. with 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-6-hydroxy-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 73865-56-2

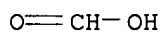
CMF C22 H26 N4 O4



CM 2

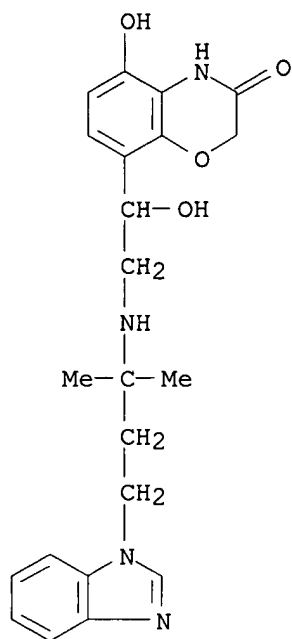
CRN 64-18-6

CMF C H2 O2



RN 73866-11-2 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-hydroxy-, dihydrochloride (9CI) (CA INDEX NAME)



●<sub>2</sub> HCl

L5 ANSWER 8 OF 8 USPATFULL

ACCESSION NUMBER: 80:36878 USPATFULL

TITLE: Aminoalkyl-substituted benzimidazolidin-2-ones

INVENTOR(S):           Mentrup, Anton, Mainz-Kastel, Germany, Federal Republic  
                          of  
                          Schromm, Kurt, Ingelheim, Germany, Federal Republic of  
                          Renth, Ernst-Otto, Ingelheim, Germany, Federal Republic  
                          of  
                          Reichl, Richard, Ingelheim, Germany, Federal Republic  
                          of  
                          Traunecker, Werner, Munster-Sarmshein, Germany, Federal  
                          Republic of  
                          Hoefke, Wolfgang, Budenheim, Germany, Federal Republic  
                          of

PATENT ASSIGNEE(S): Boehringer Ingelheim GmbH, Ingelheim am Rhein, Germany,  
Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4215119		19800729
APPLICATION INFO.:	US 1979-26608		19790403 (6)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1977-773394, filed on 2 Mar 1977, now patented, Pat. No. US 4154829		

	NUMBER	DATE
	-----	-----
PRIORITY INFORMATION:	DE 1976-2609645	19760309
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Trousof, Natalie	
LEGAL REPRESENTATIVE:	Hammond & Littell, Weissenberger & Muserlian	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1,6	
LINE COUNT:	1240	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Racemic and optically active compounds of the formula

$Q-C_{\text{sub}.n}H_{\text{sub}.2n}-NH-R$

wherein Q is ##STR1## where  $R_{\text{sub}.1}$  is hydrogen, halogen, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms, trifluoromethyl or amino,

$R_{\text{sub}.2}$  is hydrogen, halogen, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms or trifluoromethyl,

$R_{\text{sub}.1}$  and  $R_{\text{sub}.2}$ , together with each other, are methylenedioxy or ethylenedioxy, and

A is --O--, --CH<sub>sub.2</sub>--CH<sub>sub.2</sub>--, or --NR<sub>sub.3</sub>-- where  $R_{\text{sub}.3}$  is hydrogen or alkyl of 1 to 4 carbon atoms,

n is an integer from 2 to 6, inclusive, and

R is hydrogen, benzyl or ##STR2## where  $R_{\text{sub}.4}$  is hydrogen, methyl or ethyl,

$R_{\text{sub}.5}$ ,  $R_{\text{sub}.6}$  and  $R_{\text{sub}.7}$ , which may be identical to or different from each other, are each hydrogen, halogen, hydroxymethyl, trifluoromethyl, alkyl of 1 to 4 carbon atoms, alkoxy of 1 to 4 carbon atoms, nitro, cyano, --CONHR<sub>sub.3</sub>, --CONHOH, --COOR<sub>sub.3</sub>,  $R_{\text{sub}.8}$  O--, methylsulfonylmethyl or, when one or two of  $R_{\text{sub}.5}$  through  $R_{\text{sub}.7}$  are other than halogen or trifluoromethyl, also --NR<sub>sub.3</sub>  $R_{\text{sub}.9}$ ,

where

$R_{\text{sub}.3}$  has the meanings defined above,

$R_{\text{sub}.8}$  is hydrogen, alkanoyl of 1 to 20 carbon atoms, alkyl of 1 to 4 carbon atoms, or aralkyl, and

$R_{\text{sub}.9}$  is hydrogen, lower alkanoyl, methanesulfonyl, carbamoyl, dimethylsulfamoyl, or alkoxycarbonyl of 2 to 5 carbon atoms, and

$R_{\text{sub}.5}$  and  $R_{\text{sub}.6}$ , together with each other, are --O--CH<sub>sub.2</sub>--O, --O--CH<sub>sub.2</sub>--CH<sub>sub.2</sub>--O--, --CH.dbd.CH--CH.dbd.CH--, --O--CH<sub>sub.2</sub>--CONH--, --CH<sub>sub.2</sub>--CH<sub>sub.2</sub>--COHN-- or --O--CO--NH--,

and non-toxic, pharmacologically acceptable acid addition salts thereof. The compounds as well as their salts are useful as vasodilators and CNS-stimulators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 75770-47-7 75770-48-8 75770-49-9

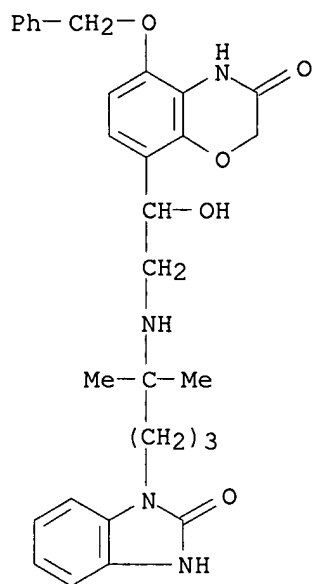
75770-52-4 75770-53-5

(hydrogenolysis of)

RN 75770-47-7 USPATFULL

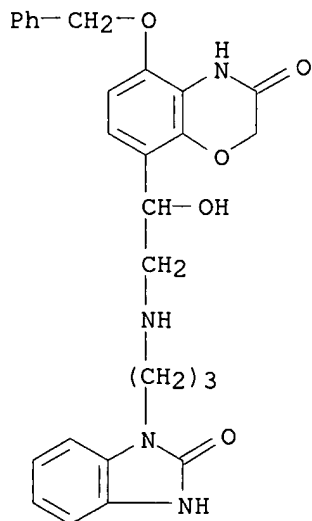
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylbutyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI)  
(CA INDEX NAME)

09/836,462



RN 75770-48-8 USPATFULL

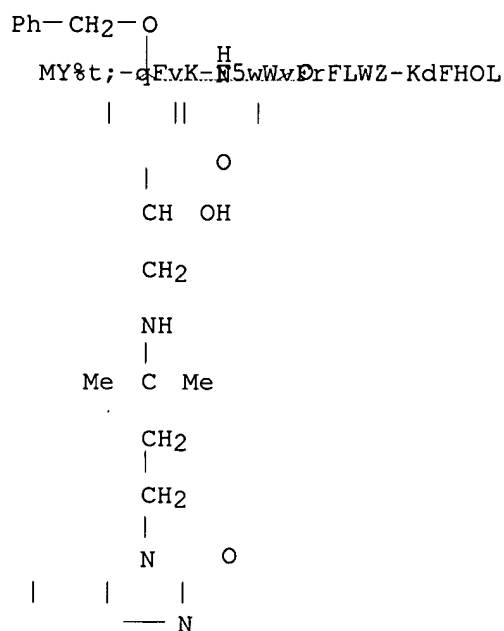
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)propyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 75770-49-9 USPATFULL

CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

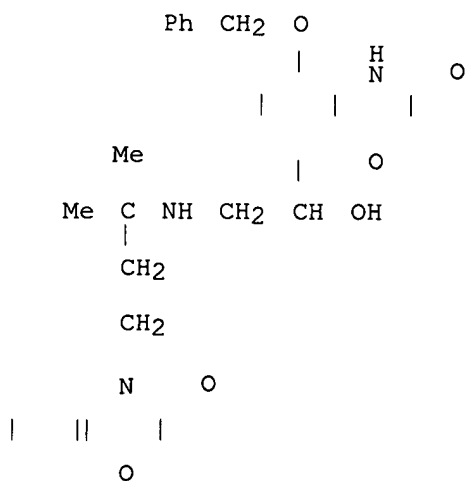
PAGE 1-A



PAGE 2-A

Me

RN 75770-52-4 USPATFULL  
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-1,1-dimethylpropyl]amino]-1-hydroxyethyl]-5-(phenylmethoxy)- (9CI)  
(CA INDEX NAME)



RN 75770-53-5 USPATFULL